STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 174490

TO: David Lukton
Location: REM/3B75/3C18
Art Unit: 1654
December 17, 2005

Case Serial Number: 10/666072

From: P. Sheppard
Location: Remsen Building
Phone: (571) 272-2529

sheppard@uspto.gov

Search Notes

ACCESS DB # 174490
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SEARCH REQUEST FORM
(STIC)

Requestor's Name: David Lukton Examiner number: 71263 Date: 12-16-05

Art Unit: 1654 Phone number: 571-272-0952 Serial Number: 10-666 072

Mail Box: 3-C-18 Examiner Rm: 3-B-75 Results format: paper

* * * * * * * * * * * * * *

Title: Echinocandin Derivatives, their method of preparation and their application as anti-fungal agents

Applicants: COURTIN, OLIVIER; FAUVEAU, PATRICK; MARKUS, ASTRID; MELON MANGUER, DOMINIQUE; MICHEL, JEAN-MARC; SCHIO, LAURENT

Earliest Priority Date: 12/10/97

* * *

Applicants are claiming the compounds on the attached sheet

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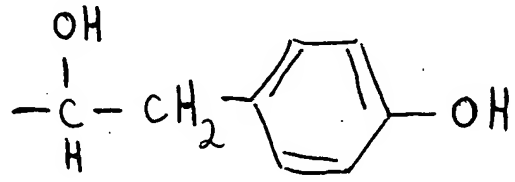
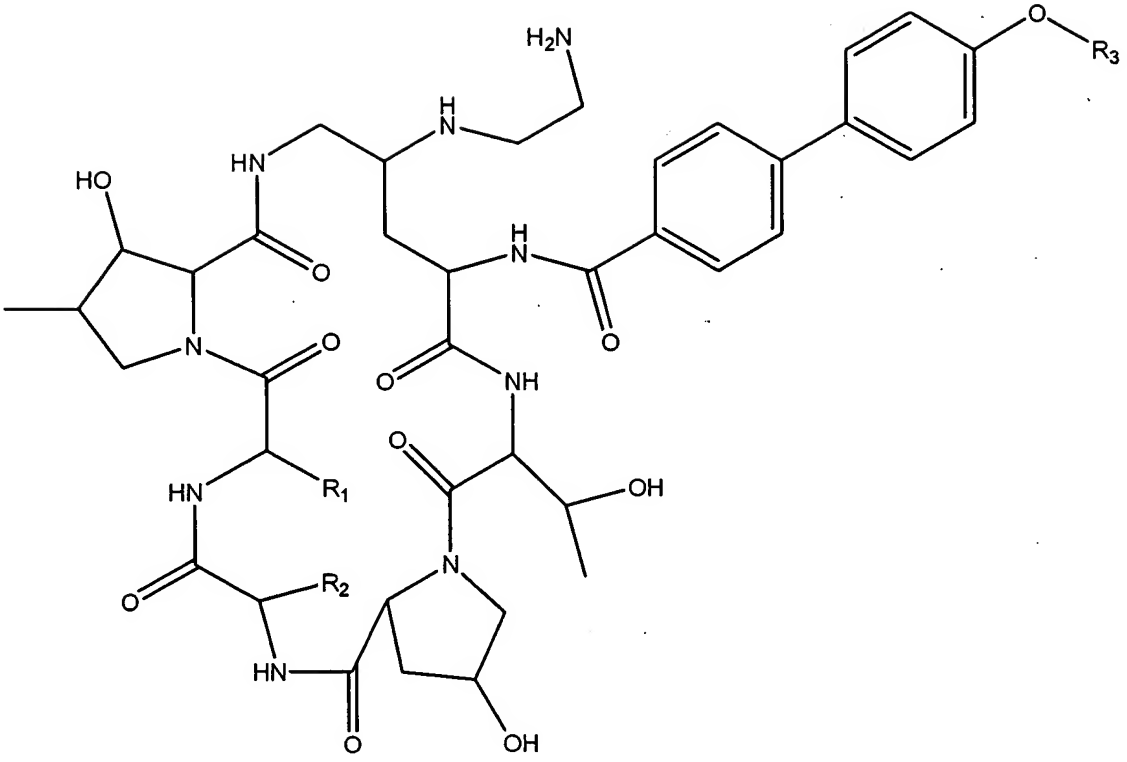
R3 = an eight carbon alkyl group

R2 = 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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 15 DEC 2005 HIGHEST RN 870070-25-0
DICTIONARY FILE UPDATES: 15 DEC 2005 HIGHEST RN 870070-25-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

FILE HCAPLUS

FILE COVERS 1907 - 17 Dec 2005 VOL 143 ISS 26
FILE LAST UPDATED: 16 Dec 2005 (20051216/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 17 Dec 2005  VOL 143 ISS 26
FILE LAST UPDATED: 16 Dec 2005  (20051216/ED)

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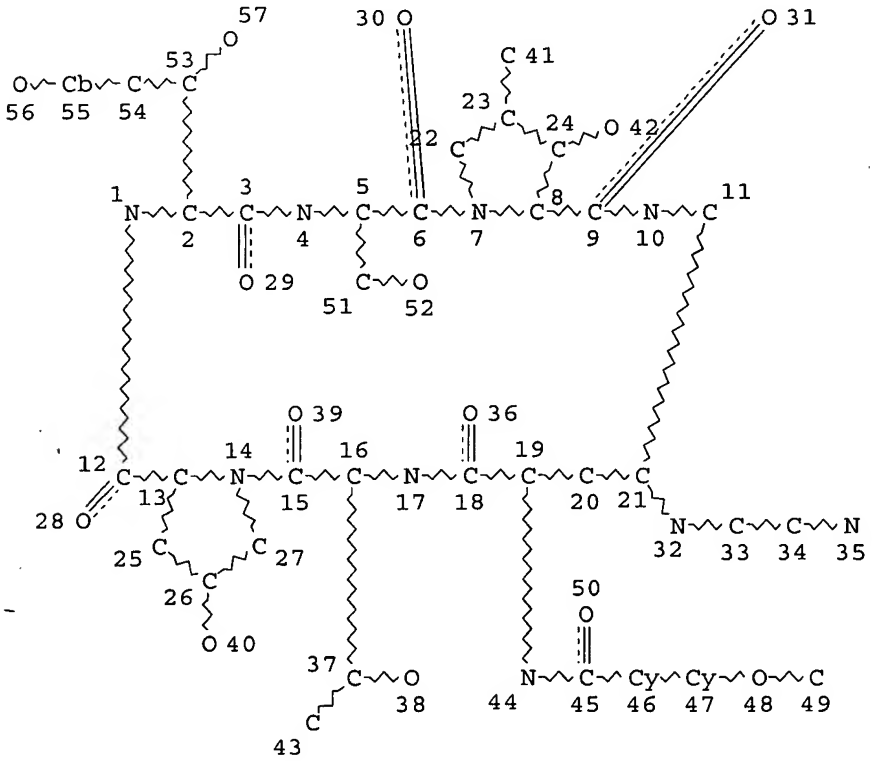

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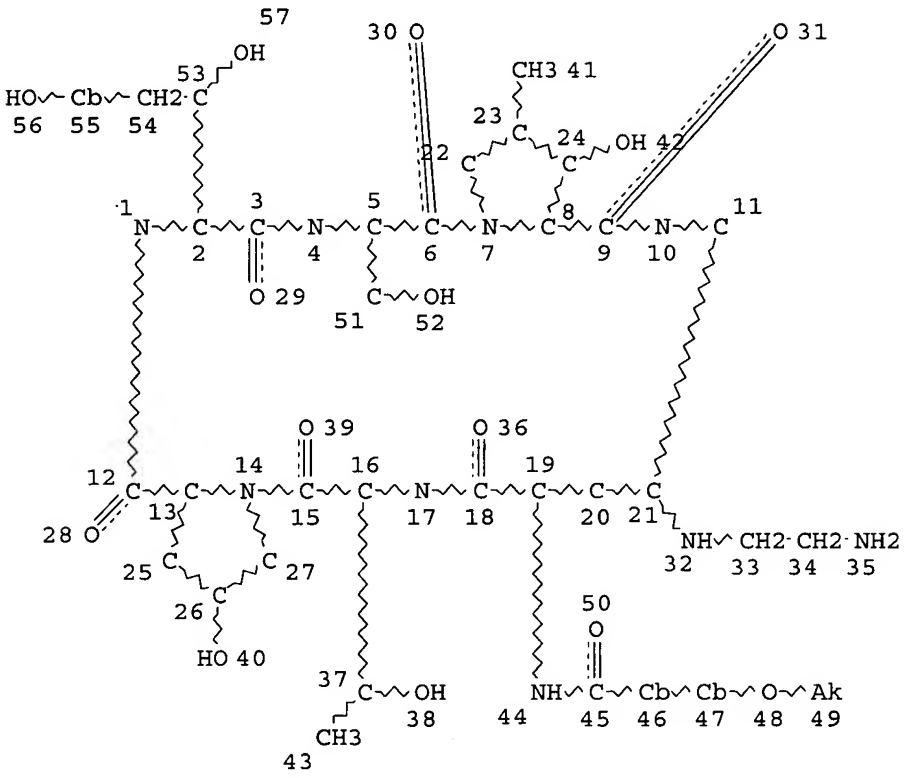

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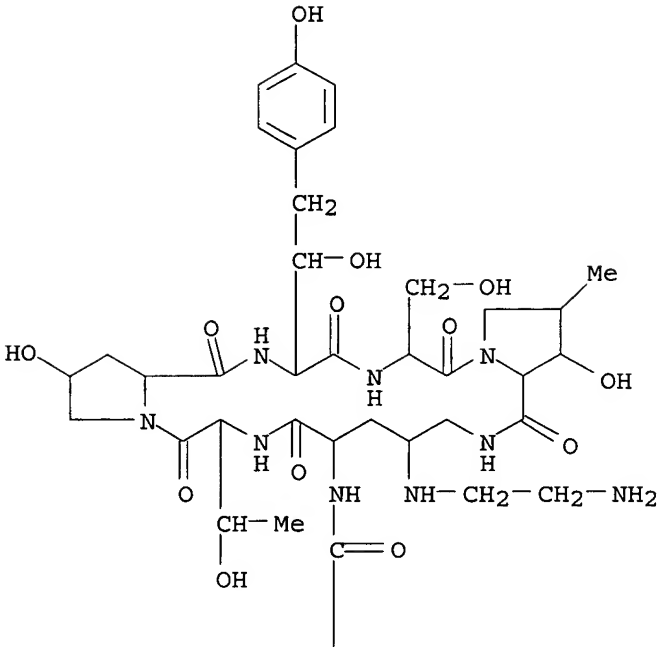
L10 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:995995 HCAPLUS

DOCUMENT NUMBER: 143:432066
TITLE: Activity of aminocandin (IP960) compared with amphotericin B and fluconazole in a neutropenic murine model of disseminated infection caused by a fluconazole-resistant strain of Candida tropicalis
AUTHOR(S): Warn, Peter A.; Sharp, Andrew; Morrissey, Graham; Denning, David W.
CORPORATE SOURCE: School of Medicine, University of Manchester, Manchester, M13 9PT, UK
SOURCE: Journal of Antimicrobial Chemotherapy (2005), 56(3), 590-593
CODEN: JACHDX; ISSN: 0305-7453
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB To compare the activity of aminocandin (IP960), a new echinocandin with broad-spectrum in vitro activity against Aspergillus and Candida spp.,, with that of amphotericin B and fluconazole in a temporarily immunocompromised murine model of disseminated candidiasis. Mice were rendered neutropenic with cyclophosphamide and infected i.v. 3 days later with a fluconazole-resistant Candida tropicalis strain. Mice were treated with i.p. amphotericin B (5 mg/kg/dose), oral fluconazole (50 mg/kg/dose), i.v. aminocandin (0.1-5 mg/kg/dose) or solvent control for 9 days. Mice were observed for survival and survivors were sacrificed 11 days post-infection. Kidneys, liver, brain and lungs were removed for semi-quant. culture. Control mice had 90-100% mortality. After infection with C. tropicalis, aminocandin 2.5 and 5 mg/kg/day and amphotericin B yielded 80% survival; aminocandin 1 mg/kg/day yielded 70% survival; aminocandin 0.25 and 0.1 mg/kg/day yielded 30% and 20% survival, resp.; and fluconazole 50 mg/kg/day and control regimens yielded 10% and 0-10% survival, resp. Aminocandin 2.5 and 5.0 mg/kg/day and amphotericin B were superior in reducing mortality compared with aminocandin 0.25 and 0.1 mg/kg/day, fluconazole and controls. The only regimen to reduce organ burdens below detectable levels was amphotericin B, which cleared 40% of mice. All organ burdens in the aminocandin 1.0, 2.5 and 5.0 mg/kg/day and amphotericin B regimens were significantly lower than other groups. The data demonstrate that aminocandin at doses of ≥1.0 mg/kg/day is as effective as amphotericin B at improving survival and reducing organ burdens in this murine model of disseminated C. tropicalis.
IT **227472-48-2**, Aminocandin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(activity of aminocandin (IP960) compared with amphotericin B and fluconazole in neutropenic murine model of disseminated infection caused by fluconazole-resistant strain of Candida tropicalis)
RN 227472-48-2 HCAPLUS
CN Deoxymulundocandin, 1-[4-[(2-aminoethyl)amino]-N2-[[4'-(octyloxy)[1,1'-biphenyl]-4-yl]carbonyl]-L-ornithine]- (9CI) (CA INDEX NAME)

PAGE 1-A



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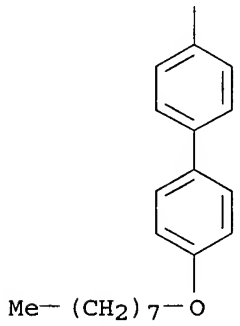

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L10 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:475489 HCAPLUS
DOCUMENT NUMBER: 139:53314
TITLE: Procedure for preparation of echinocandin derivatives
INVENTOR(S): Boffelli, Philippe; Brouillard, Agnes; Colladant, Colette; Droux, Serge; Elter, Michel; Ferroud, Didier; Lemaitre, Guy; Paladino, Joseph
PATENT ASSIGNEE(S): Aventis Pharma S. A., Fr.
SOURCE: Fr. Demande, 36 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent

LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2833596	A1	20030620	FR 2001-16230	20011214
FR 2833596	B1	20050218		
CA 2469918	AA	20030703	CA 2002-2469918	20021212
WO 2003054001	A2	20030703	WO 2002-FR4308	20021212
WO 2003054001	A3	20040122		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1456229	A2	20040915	EP 2002-805374	20021212
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BR 2002014937	A	20041214	BR 2002-14937	20021212
JP 2005523245	T2	20050804	JP 2003-554717	20021212
ZA 2004004631	A	20050110	ZA 2004-4631	20040610
US 2005032679	A1	20050210	US 2004-867070	20040614
NO 2004002640	A	20040623	NO 2004-2640	20040623
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			WO 2002-FR4308	W 20021212

OTHER SOURCE(S): CASREACT 139:53314; MARPAT 139:53314
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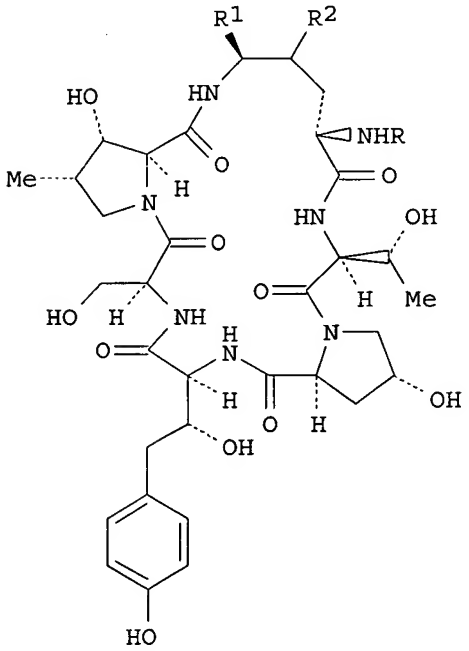


I

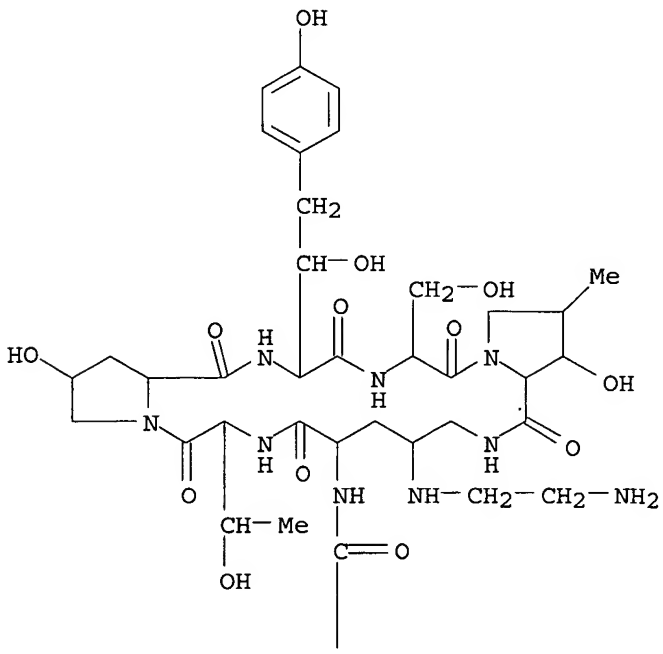
AB Echinocandin derivs. I [R is an acyl group R1CO, where R1 is a chain (linear, branched, or cyclic) containing ≥ 30 carbon atoms containing one or more heteroatoms or heterocycles; R2 is H; R3 is NHCH2CH2NH2] were prepared for use as pharmaceuticals, in particular the dihydrochloride salts. The synthesis method involves acylation of I (R = H, R2, R3 = OH) by R1CO2H or an active ester, dehydration of the product or its mono-O-alkyl derivative, and reductive amination of the oxo derivative with ethylenediamine in the presence of NaBH3CN and a Lewis acid or NaBH(O2CR')3 (R'CO2H is Boc- or Cbz-L-Pro-OH). The product was obtained, mainly as one isomer, by using chromatog., crystallization, action of a base, and salification. In an example, the procedure was applied to the preparation of I (R1 = 4-octylbiphenyl, R2 is H; R3 is NHCH2CH2NH2) dihydrochloride.

IT **545403-48-3P 545403-50-7P**
RL: IMF (Industrial manufacture); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of echinocandin derivs.)

RN 545403-48-3 HCAPLUS

CN Deoxymulundocandin, 1-[(4R)-4-[(2-aminoethyl)amino]-N2-[[4'-(octyloxy)[1,1'-biphenyl]-4-yl]carbonyl]-L-ornithine]- (9CI) (CA INDEX NAME)

PAGE 1-A



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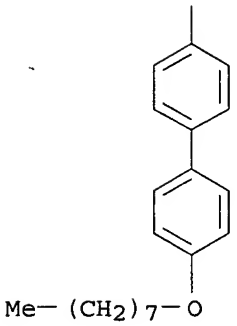

RN 545403-50-7 HCAPLUS
CN Deoxymulundocandin, 1-[(4R)-4-[(2-aminoethyl)amino]-N2-[[4'-(octyloxy)[1,1'-biphenyl]-4-yl]carbonyl]-L-ornithine]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

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CRN 545403-48-3
CMF C56 H79 N9 O14

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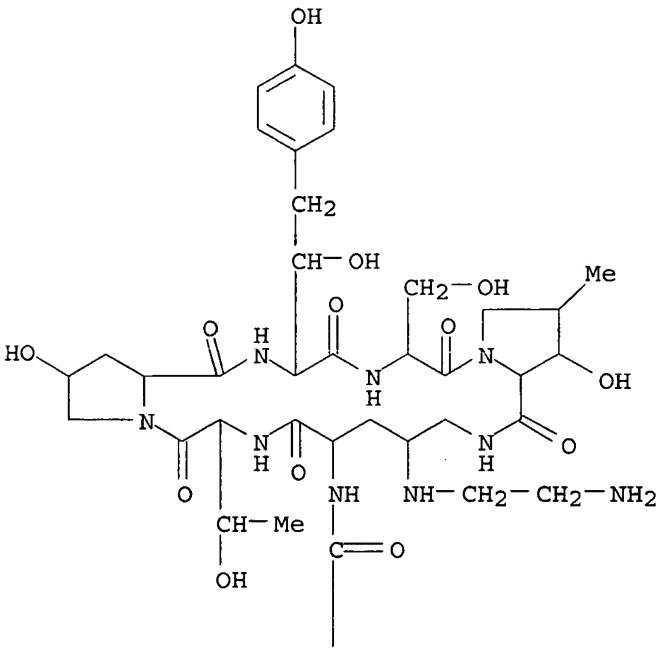

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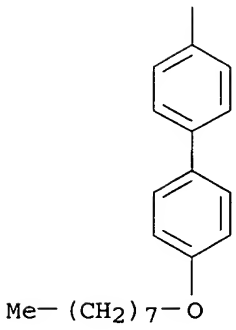

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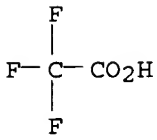
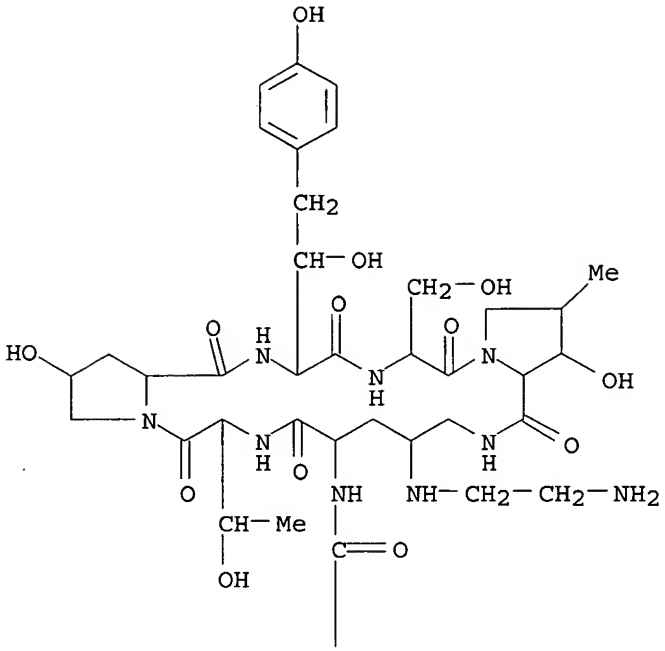


IT **545403-51-8P**
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of echinocandin derivs.)
RN 545403-51-8 HCAPLUS
CN Deoxymulundocandin, 1-[(4R)-4-[(2-aminoethyl)amino]-N2-[[4'-(octyloxy)[1,1'-biphenyl]-4-yl]carbonyl]-L-ornithine]-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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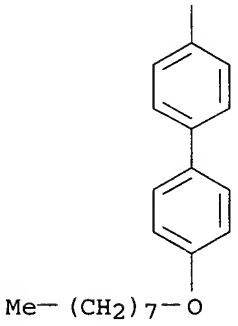

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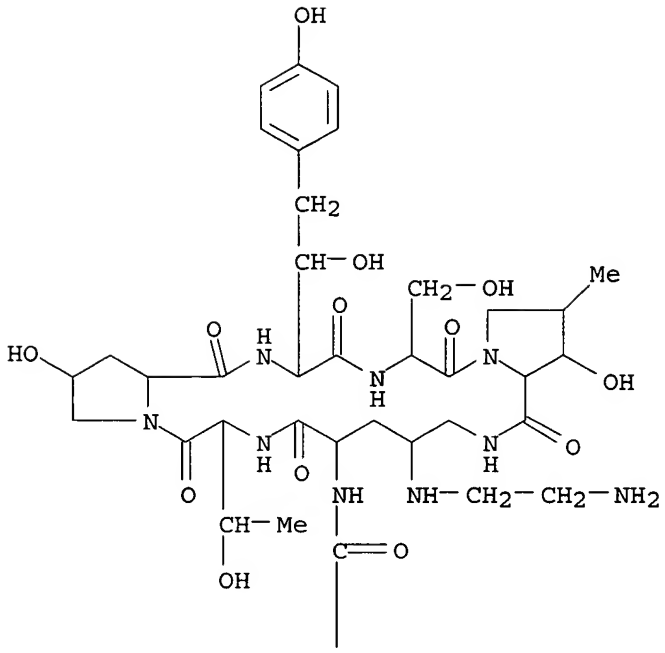
IT **545403-55-2P**
RL: PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of echinocandin derivs.)

RN 545403-55-2 HCAPLUS

CN Deoxymulundocandin, 1-[(4S)-4-[(2-aminoethyl)amino]-N2-[[4'-(octyloxy)[1,1'-biphenyl]-4-yl]carbonyl]-L-ornithine]-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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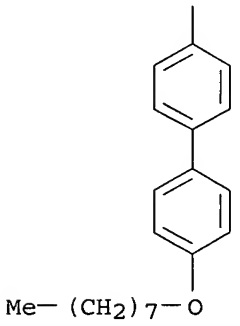

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L10 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:280481 HCAPLUS
DOCUMENT NUMBER: 139:207128
TITLE: In vivo pharmacodynamics of HMR 3270, a glucan synthase inhibitor, in a murine candidiasis model
AUTHOR(S): Andes, D.; Marchillo, K.; Lowther, J.; Bryskier, A.; Stamstad, T.; Conklin, R.

CORPORATE SOURCE: University of Wisconsin, Madison, WI, 53792, USA
SOURCE: Antimicrobial Agents and Chemotherapy (2003), 47(4), 1187-1192
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

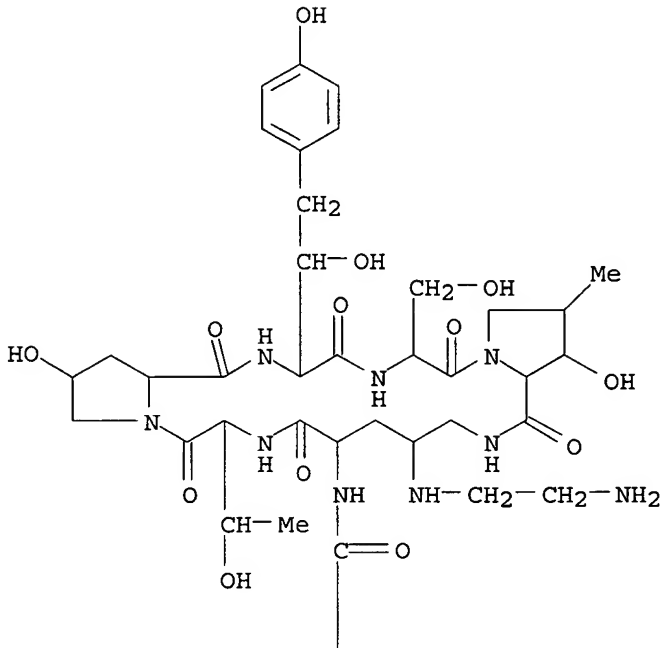
AB In vivo pharmacokinetic/pharmacodynamic characterization for numerous antibacterial compds. has had a significant impact upon optimal dosing regimen design and the development of in vivo susceptibility breakpoints. More recently, similar characterization has been undertaken for antifungal drug classes. Very little is known of these pharmacodynamic relationships for the new echinocandin class of compds. We utilized a neutropenic murine model of disseminated candidiasis to describe the time course antifungal activity of HMR 3270, a new glucan synthase inhibitor. Single-dose in vivo time kill studies with four 16-fold escalating doses demonstrated concentration-dependent killing when drug levels in serum were more than four times the MIC. Post-antifungal effects were dose dependent, ranging from 8 to 80 h duration. Multiple dosing regimen studies utilized six total doses, four dosing intervals, and a treatment duration of 6 days. Shortening the dosing interval from every 144 h (q144h) to q36h resulted in a fourfold rise in the dose necessary to achieve a net fungistatic effect. The peak/MIC ratio most strongly correlated with treatment outcomes (peak/MIC ratio, R2 = 98%; ratio of the area under the concentration-time curve from 0 to 24 h to the MIC, R2 = 79%, percentage of time above the MIC, R2 = 61%). Studies were also conducted with five addnl. Candida albicans isolates to determine if a similar peak/MIC ratio was associated with efficacy. In vivo concentration-dependent killing was similarly observed in studies with each of the addnl. isolates. The peak/MIC ratio necessary to produce efficacy was relatively similar among the strains studied (P = 0.42). The peak/MIC ratio (mean ± standard deviation) necessary to achieve a fungistatic effect was 3.72±1.84, and the ratio necessary to achieve maximal killing was near 10.

IT **227472-48-2**
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacodynamics and pharmacokinetics of HMR 3270 in murine candidiasis model)

RN 227472-48-2 HCAPLUS

CN Deoxymulundocandin, 1-[4-[(2-aminoethyl)amino]-N2-[[4'-(octyloxy)[1,1'-biphenyl]-4-yl]carbonyl]-L-ornithine]- (9CI) (CA INDEX NAME)

PAGE 1-A



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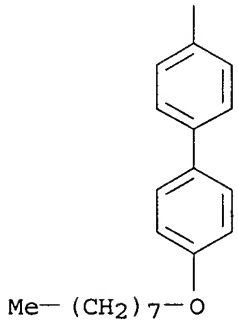

REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:390418 HCAPLUS
DOCUMENT NUMBER: 131:45105
TITLE: Preparation of Echinocandin B derivatives as antifungal agents
INVENTOR(S): Courtin, Olivier; Fauveau, Patrick; Markus, Astrid; Melon Manguer, Dominique; Michel, Jean-Marc; Schio, Laurent
PATENT ASSIGNEE(S): Hoechst Marion Roussel, Fr.
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9929716	A1	19990617	WO 1998-FR2671	19981209
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2772028	A1	19990611	FR 1997-15628	19971210
FR 2772028	B1	20000204		
FR 2784993	A1	20000428	FR 1998-13361	19981026
FR 2784993	B1	20021031		
ZA 9811158	A	19991207	ZA 1998-11158	19981207
CA 2311295	AA	19990617	CA 1998-2311295	19981209
AU 9915659	A1	19990628	AU 1999-15659	19981209
AU 755033	B2	20021128		
EP 1036090	A1	20000920	EP 1998-959935	19981209
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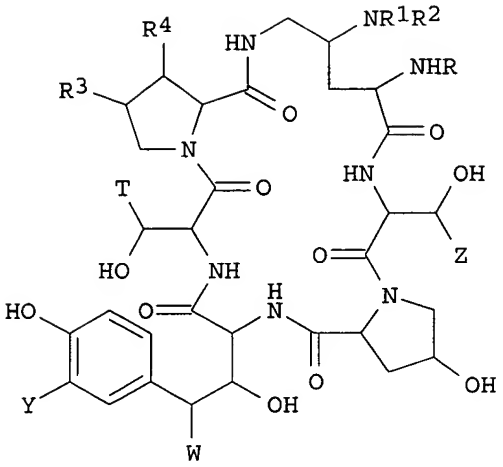
BR 9813531	A	20001010	BR 1998-13531	19981209
TR 200001655	T2	20001121	TR 2000-200001655	19981209
EE 200000336	A	20010815	EE 2000-200000336	19981209
JP 2001525421	T2	20011211	JP 2000-524307	19981209
NZ 504614	A	20021220	NZ 1998-504614	19981209
TW 446541	B	20010721	TW 1998-87121185	19990122
BG 104494	A	20010131	BG 2000-104494	20000531
NO 2000002959	A	20000809	NO 2000-2959	20000609
HR 2000000384	A1	20001031	HR 2000-384	20000609
US 6677429	B1	20040113	US 2000-581451	20000724
US 2004072737	A1	20040415	US 2003-666072	20030919
US 2005267019	A1	20051201	US 2005-165458	20050623

PRIORITY APPLN. INFO.:	FR 1997-15628	A	19971210
	FR 1998-13361	A	19981026
	WO 1998-FR2671	W	19981209
	US 2000-581451	A3	20000724
	US 2003-666072	A3	20030919

OTHER SOURCE(S): MARPAT 131:45105
GI



I

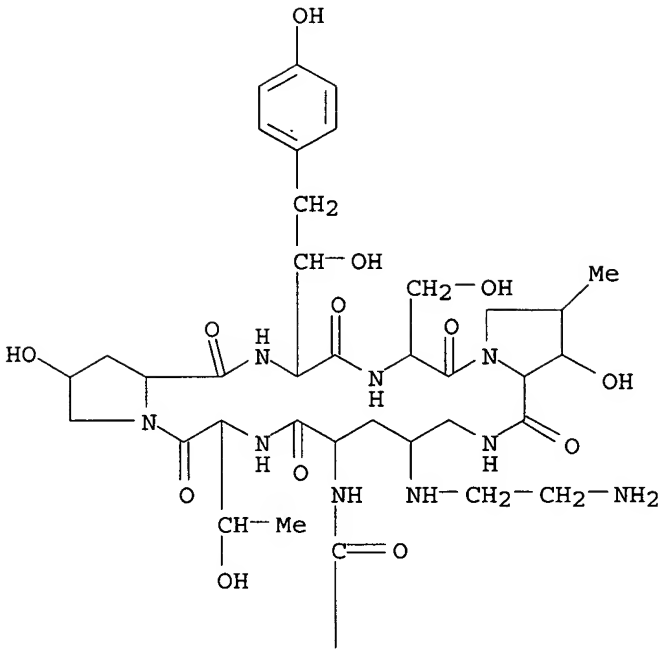
AB The title compds. I (R1, R2 = H, OH, (substituted) alkyl, NR1 forms with the carbon bearing NR1R2 a double bond and R2 = MP; M = O, NH, alkylamino; P = H, (substituted) alkyl; R3 = H, OH, CH3; R4 = H, OH; R = linear or branched chain up to 30 carbon atoms optionally substituted with heteroatoms, aryls or heterocycles; T = H, CH3, CH2CONH2, CH2C.tplbond.N, (CH2)2NH2; Y = H, OH, halogen; W = H, OH; Z = H, CH3) were prepared as antifungal agents (no data given). For example, 1-[(4R,5R)-4,5-dihydroxy-N2-(12-methyltetradecanoyl)-L-ornithine]-4-[4-(4-hydroxyphenyl)-L-threonine]-5-L-serine-echinocandin B was treated with trimethylsilyl iodide and sodium thiosulfate in succession to give the intermediate 1-[N2-(12-methyltetradecanoyl)-4-oxo-L-ornithine]-4-[4-(4-hydroxyphenyl)-L-threonine]-5-L-serine-echinocandin B in 62% yield. This intermediate, when treated with 2-(dimethylamino)ethylamine, gave the final product I [NR1R2 = NHCH2CH2NMe2, R = CO(CH2)10CH(CH3)CH2CH3, Z = CH3, W = Y = T = H, R3 = CH3, R4 = OH] as a mixture of isomers, which were, then, separated via HPLC.

IT **227472-48-2P 227472-49-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of echinocandin derivs. as antifungal agents)

RN 227472-48-2 HCAPLUS

CN Deoxymulundocandin, 1-[4-[(2-aminoethyl)amino]-N2-[[4'-(octyloxy)[1,1'-biphenyl]-4-yl]carbonyl]-L-ornithine]- (9CI) (CA INDEX NAME)

PAGE 1-A



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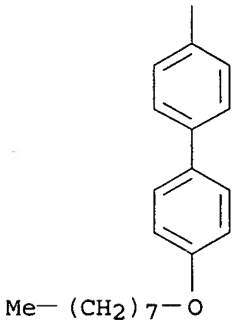
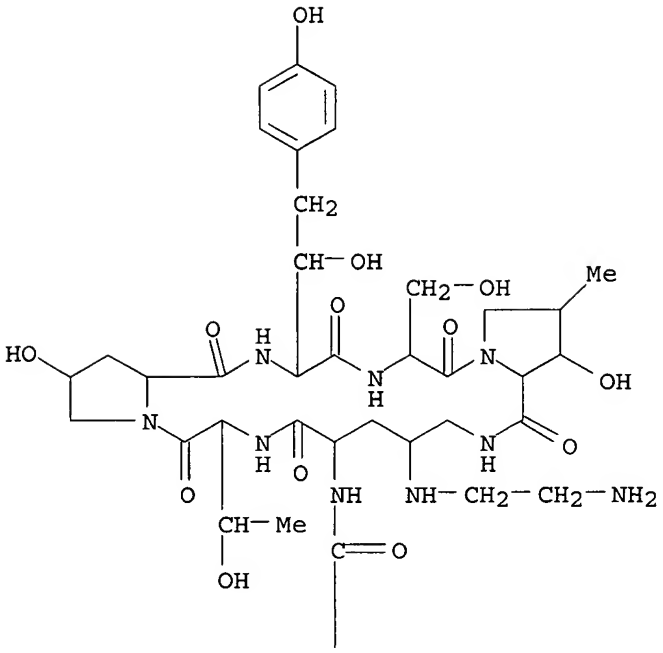


RN 227472-49-3 HCAPLUS
CN Deoxymulundocandin, 1-[4-[(2-aminoethyl)amino]-N2-[[4'-(octyloxy)[1,1'-biphenyl]-4-yl]carbonyl]-L-ornithine]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 227472-48-2
CMF C56 H79 N9 O14

PAGE 1-A



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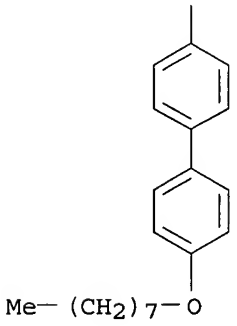
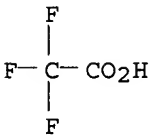


CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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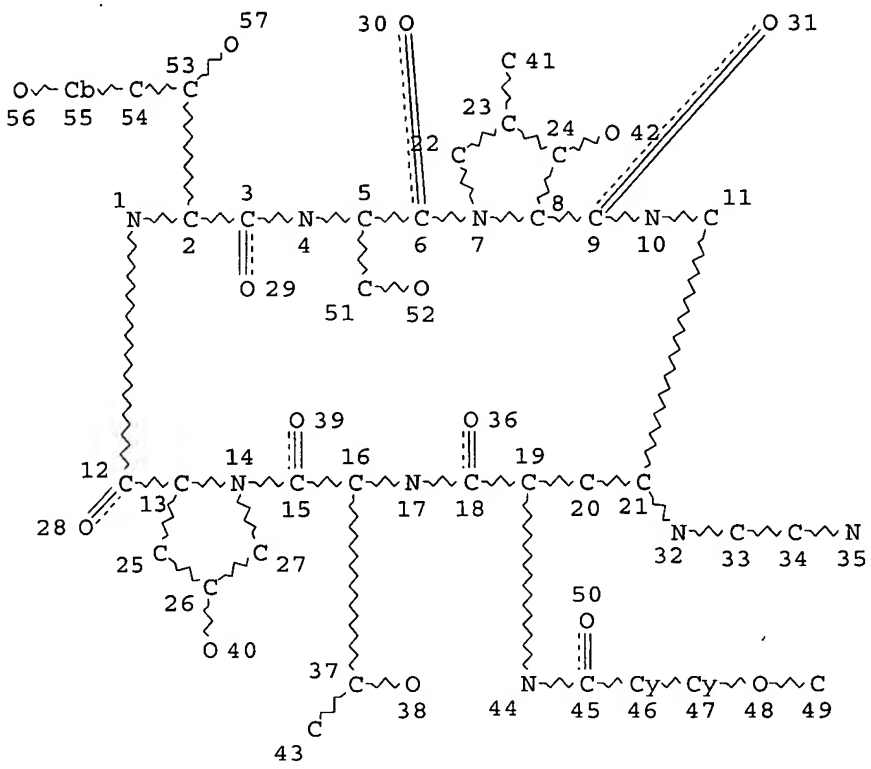

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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 57

STEREO ATTRIBUTES: NONE
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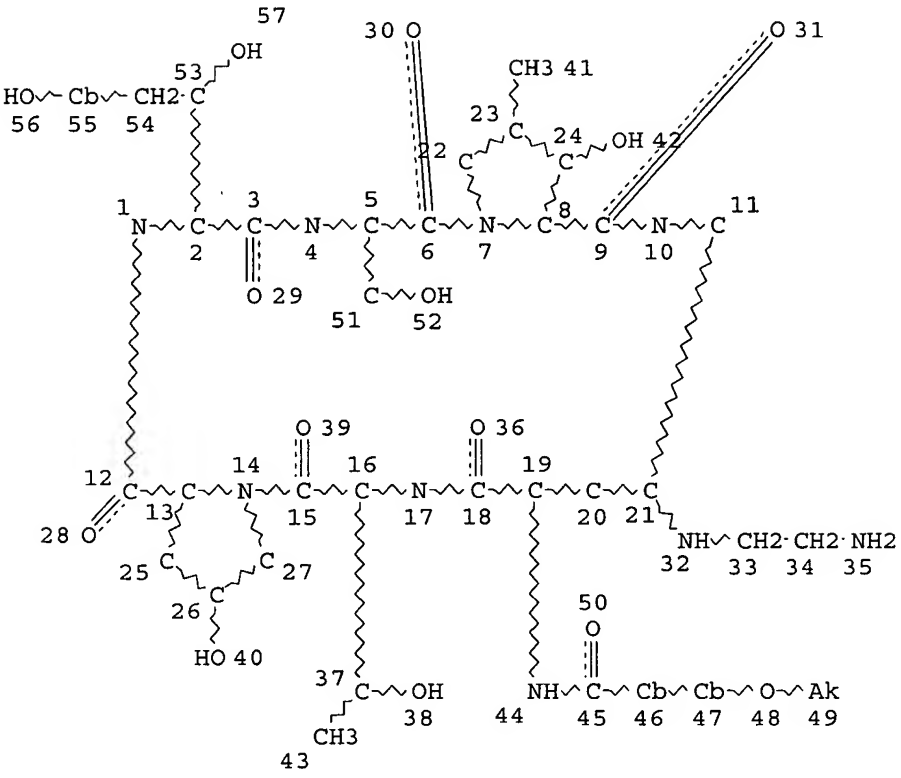
L8 STR



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DEFAULT ECLEVEL IS LIMITED

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NUMBER OF NODES IS 57

STEREO ATTRIBUTES: NONE

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L13 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:881186 HCAPLUS
DOCUMENT NUMBER: 134:17731
TITLE: Echinocandin derivatives, method for preparing same and application as glucan synthase inhibitors and antifungal agents
INVENTOR(S): Fauveau, Patrick; Hawser, Stephen; Lebourg, Gilles; Schio, Laurent

PATENT ASSIGNEE(S): Hoechst Marion Roussel, Fr.
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000075177	A1	20001214	WO 2000-FR1568		20000608
W: AE, AG, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
FR 2794746	A1	20001215	FR 1999-7251		19990609
FR 2794746	B1	20021206			
CA 2376025	AA	20001214	CA 2000-2376025		20000608
EP 1189933	A1	20020327	EP 2000-942169		20000608
EP 1189933	B1	20030409			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2003501441	T2	20030114	JP 2001-502458		20000608
AT 236928	E	20030415	AT 2000-942169		20000608
PT 1189933	T	20030829	PT 2000-942169		20000608
ES 2192533	T3	20031016	ES 2000-942169		20000608
PRIORITY APPLN. INFO.:			FR 1999-7251	A	19990609
			WO 2000-FR1568	W	20000608

OTHER SOURCE(S): CASREACT 134:17731; MARPAT 134:17731
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention concerns in all possible isomeric forms as well as their mixts., cyclic peptides I wherein: R represents a linear, branched or cyclic chain; either R1 represents H or CH3 and R2 represents cyclohexyl substituted by an amine, cyanoalkyl ; or R1 and R2 form with the nitrogen which bears them a cycle with 3, 4 or 5 carbons optionally substituted by an amine; R3 represents hydrogen, Me or hydroxyl; R4 represents hydrogen or hydroxyl; T represents hydrogen, Me, CH2CONH2, CH2CN, a (CH2)2NH2 or (CH2)2Nalk+X- radical, X being halogen and alk an alkyl radical; Y represents hydrogen, hydroxyl, halogen or OSO3H; W represents H or OH; Z represents H, CH3. The compds. of formula I have antifungal properties. Thus,. Trans 1-[4-[(2-aminocyclohexyl)amino]-N2-[[4''-(pentyloxy)[1,1':4',1''terphenyl]-4-yl]carbonyl]-L-ornithine]-4-[4-(4-hydroxyphenyl)-L-threonine]-5-L-serine-echinocandine B trifluoroacetate was prepared and tested for its inhibition of glucan synthase of Candida albicans and of the enzyme prepared from Aspergillus fumigatus.

IT **310461-86-0P 310461-89-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(echinocandin derivs., method for preparing same and application as glucan synthase inhibitors and antifungal agents)

RN 310461-86-0 HCAPLUS
CN Deoxymulundocandin, 1-[(4R)-4-[[(2S)-2-aminopropyl]amino]-N2-[[4'-(octyloxy)[1,1'-biphenyl]-4-yl]carbonyl]-L-ornithine]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

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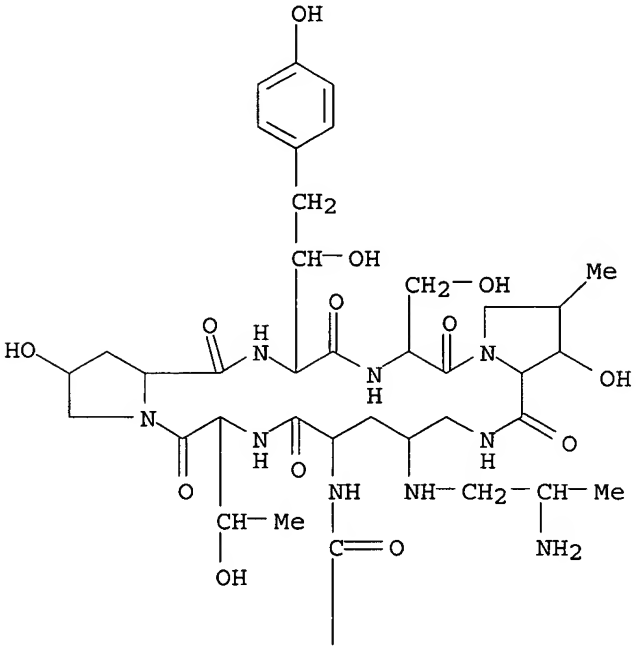
CRN 310461-85-9
CMF C57 H81 N9 O14

PAGE 1-A



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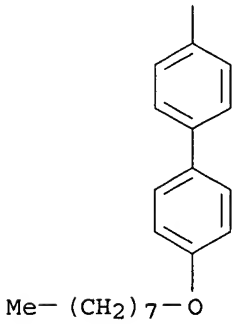

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CRN 76-05-1

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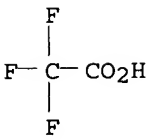
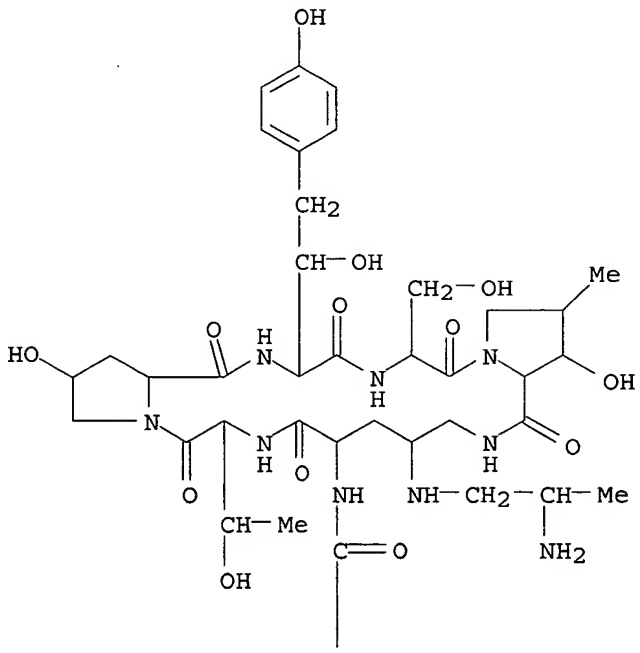


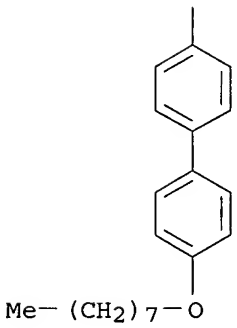
RN 310461-89-3 HCAPLUS
CN Deoxymulundocandin, 1-[(4S)-4-[[(2S)-2-aminopropyl]amino]-N2-[[4'-(octyloxy)[1,1'-biphenyl]-4-yl]carbonyl]-L-ornithine]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 310461-88-2
CMF C57 H81 N9 O14

PAGE 1-A





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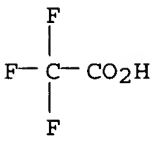

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L14  ANSWER 1 OF 36  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2005:1102398  HCAPLUS
DOCUMENT NUMBER:          143:372859
TITLE:                    Self-tanning cosmetic composition containing
                          dihydroxyacetone and a dipeptide
INVENTOR(S):              Courtin, Olivier
PATENT ASSIGNEE(S):       Laboratoires Clarins, Fr.
SOURCE:                   Fr. Demande, 23 pp.
                          CODEN: FRXXBL
DOCUMENT TYPE:            Patent
LANGUAGE:                 French
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FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2868699	A1	20051014	FR 2004-3657	20040407
WO 2005099664	A1	20051027	WO 2005-FR851	20050407

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: FR 2004-3657 A 20040407

AB A self-tanning cosmetic composition contains dihydroxyacetone and a dipeptide, preferably carnosine or one of its derivs. in two sep. compartments. A cram contained Ph trimethicone 5.00, cyclomethicone 5.00, dihydroxyacetone 5.00, glyceryl stearate 4.50, isononyl isononanoate 4.00, butylene glycol 2.50, glycerin 2.50, Sepigel-305 2.00, phenonip 0.60, cetyl alc. 0.60, fragrances 0.30, sorbic acid 0.10, xanthane gum 0.10, disodium EDTA 0.05, BHT 0.02, and water q.s. 100%.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:982343 HCAPLUS
DOCUMENT NUMBER: 143:271974
TITLE: Slimming cosmetic composition comprising as an active agent a metalloproteinase inhibitor
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Laboratoires Clarins, Fr.
SOURCE: Fr. Demande, 22 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2867074	A1	20050909	FR 2004-50461	20040308
WO 2005087189	A1	20050922	WO 2005-FR554	20050308

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: FR 2004-50461 A 20040308

AB The present invention relates to a slimming cosmetic composition including as an active agent at least one inhibitor of metalloproteinases 2 and/or 9 or one extract of a plant containing the aforementioned inhibitor of metalloproteinases. The present invention relates also to the use of an inhibitor of metalloproteinases 2 and/or 9 or of an extract of plant containing the aforementioned inhibitor in a slimming cosmetic product. The present invention also relates to the cosmetic use of an inhibitor of metalloproteinases 2 and/or 9 or of an extract of plant containing the aforementioned inhibitor, such agent preventing adipocyte differentiation, for the preparation of a slimming composition

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 3 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:549525 HCAPLUS
TITLE: Use of bocoa prouacensis like slimming agent [Machine Translation].
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Laboratoires Clarins, Fr.
SOURCE: Fr. Demande
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2849595	A1	20040709	FR 2003-80	20030106
FR 2849595	B1	20050225		
PRIORITY APPLN. INFO.:			FR 2003-80	20030106

AB [Machine Translation of Descriptors]. The present invention relates to the use of a cosmetic composition containing a water-soluble extract of Bocoa prouacensis to thin the face and/or the body. The present invention also relates to the cosmetic use of an extract of Bocoa prouacensis to thin the face and/or the body and like slimming agent and, more particularly, like anti-lipogenese as well as the use of an extract of Bocoa prouacensis for the preparation of a cosmetic or dermatological composition to thin the face and/or the body.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:17543 HCAPLUS
TITLE: Composition cosmetique able to fight against ageing cutane [Machine Translation].
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Laboratoires Clarins, Fr.
SOURCE: Fr. Demande
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2841782	A1	20040109	FR 2002-8564	20020708
FR 2841782	B1	20040917		
CA 2489751	AA	20040115	CA 2003-2489751	20030708

WO 2004004680 A2 20040115 WO 2003-FR2124 20030708
WO 2004004680 A3 20040408
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1536764 A2 20050608 EP 2003-762747 20030708
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
US 2005186172 A1 20050825 US 2005-29988 20050105
PRIORITY APPLN. INFO.: FR 2002-8564 A 20020708
WO 2003-FR2124 W 20030708

AB [Machine Translation of Descriptors]. The present invention relates to a cosmetic cosmetic composition containing an extract of Diospyros kaki and an extract of Pueraria lobata. The present invention also relates to the use of the aforementioned composition to prevent cutaneous ageing and/or to fight against this sum of money.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 5 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:773668 HCAPLUS
TITLE: Composition cosmetique for the care of the skin more particularly like care of night [Machine Translation].
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Laboratoires Clarins, Fr.
SOURCE: Fr. Demande
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2837702	A1	20031003	FR 2002-3772	20020326
FR 2837702	B1	20050114		

PRIORITY APPLN. INFO.: FR 2002-3772 20020326

AB [Machine Translation of Descriptors]. The present invention relates to a cosmetic composition characterized in that it contains an extract of Mirabilis jalapa, an extract of Laminaria cloustoni, and an extract of Citrus reticulata. The present invention also relates to the use of the aforementioned composition to prevent or fight against cutaneous ageing and like care of night.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 6 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:570726 HCAPLUS
DOCUMENT NUMBER: 139:106426
TITLE: Cosmetic compositions containing a water-soluble Bocoa prouacensis extract
INVENTOR(S): **Courtin, Olivier**

PATENT ASSIGNEE(S): Laboratoires Clarins, Fr.
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003059243	A2	20030724	WO 2003-FR5	20030103
WO 2003059243	A3	20040311		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2834210	A1	20030704	FR 2002-51	20020103
FR 2834210	B1	20040227		
FR 2834211	A1	20030704	FR 2002-8566	20020708
FR 2834211	B1	20040604		
EP 1461011	A2	20040929	EP 2003-709852	20030103
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.:		
FR 2002-51	A	20020103
FR 2002-8566	A	20020708
WO 2003-FR5	W	20030103

AB The invention concerns a cosmetic composition containing a water-soluble Bocoa prouacensis extract The invention also concerns the cosmetic use of a Bocoa prouacensis extract for treatment against skin ageing and the use of a B. prouacensis extract for preparing a cosmetic or dermatol. composition for skin care.
Antiradical and anti-collagenase activity of B. prouacensis extract is shown. Formulations of many cosmetic containing B. prouacensis extract is disclosed.

L14 ANSWER 7 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:516838 HCAPLUS
DOCUMENT NUMBER: 139:73753
TITLE: Cosmetic composition for prevention of skin aging
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Laboratoires Clarins, Fr.
SOURCE: Fr. Demande, 21 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2834210	A1	20030704	FR 2002-51	20020103
FR 2834210	B1	20040227		
FR 2834211	A1	20030704	FR 2002-8566	20020708
FR 2834211	B1	20040604		
WO 2003059243	A2	20030724	WO 2003-FR5	20030103

WO 2003059243 A3 20040311
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1461011 A2 20040929 EP 2003-709852 20030103
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
PRIORITY APPLN. INFO.: FR 2002-51 A 20020103
FR 2002-8566 A 20020708
WO 2003-FR5 W 20030103

AB A cosmetic composition containing a water-soluble extract of Bocoa prouacensis is claimed. The present invention also relates to the cosmetic use of an extract of B. prouacensis to fight against cutaneous ageing and preparation of a cosmetic or dermatol. composition for the care of the skin. Anticollagenase activity of B. prouacensis was studied. Formulations of antiaging cosmetics are disclosed.

L14 ANSWER 8 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:334042 HCAPLUS
TITLE: Compositions cosmetiques or dermatological for the care of the skin containing an extract of buddleja and an extract with anthyllis [Machine Translation].
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Laboratoires Clarins, Fr.
SOURCE: Fr. Demande
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2831444	A1	20030502	FR 2001-14057	20011030
FR 2831444	B1	20031226		

PRIORITY APPLN. INFO.: FR 2001-14057 20011030

AB [Machine Translation of Descriptors]. The present invention relates to a cosmetic or dermatological composition, characterized in that it includes/understands: (i) a water-soluble extract of buddleja (Buddleja davidii) and (ii) a water-soluble extract of anthyllis (Anthyllis vulneraria). The present invention also relates to the cosmetic use of the aforementioned composition for the repair of the skin of the body and/or the face after an exposure to the sun and to relieve a redness or irritation of the body and/or face.
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 9 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:241087 HCAPLUS
TITLE: Composition cosmetique for the care of the skin and the hair of the man [Machine Translation]

INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Laboratoires Clarins, Fr.
SOURCE: Fr. Demande
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2829928	A1	20030328	FR 2001-12270	20010924
FR 2829928	B1	20031121		
CA 2461462	AA	20030403	CA 2002-2461462	20020924
WO 2003026605	A2	20030403	WO 2002-FR3256	20020924
WO 2003026605	A3	20031127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1429720	A2	20040623	EP 2002-783200	20020924
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005504088	T2	20050210	JP 2003-530243	20020924
US 2004191208	A1	20040930	US 2004-806536	20040323

PRIORITY APPLN. INFO.: FR 2001-12270 A 20010924
WO 2002-FR3256 W 20020924

AB [Machine Translation of Descriptors]. The present invention relates to a cosmetic composition containing a water-soluble extract of galanga (Alpinia officinarum), a water-soluble grass extract with bison (Hierochloe odorata) and a water-soluble extract of pourpier (Portulaca oleracea). the invention also relates to the use of the aforementioned composition for the care of the skin and the hair of the man.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 10 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:943005 HCAPLUS
DOCUMENT NUMBER: 138:8234
TITLE: Cosmetic composition permitting the skin to adapt to thermal stress conditions
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Laboratoires Clarins, Fr.
SOURCE: Fr. Demande, 21 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2824476	A1	20021115	FR 2001-6328	20010514
FR 2824476	B1	20030725		

PRIORITY APPLN. INFO.: FR 2001-6328 20010514
AB Cosmetic compns. permitting the skin to adapt to thermal stress conditions comprise a colloidal glycoprotein complex and a Mourera fluviatilis extract Formulation of cosmetics containing above compns. are disclosed.

L14 ANSWER 11 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:746402 HCAPLUS
DOCUMENT NUMBER: 137:237412
TITLE: Antiperspirants containing a buchu extract
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Laboratoires Clarins, Fr.
SOURCE: Fr. Demande, 13 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2818904	A1	20020705	FR 2000-17308	20001229
FR 2818904	B1	20040227		

PRIORITY APPLN. INFO.: FR 2000-17308 20001229
AB An antiperspirant containing a buchu leaves extract as antibacterial agent is claimed. A water/butylene glycol extract of buchu leaves was prepared and its antibacterial activity against Corynebacterium xerosis was shown. A deodorant cream contained emulsifiers 9.000, fatty acid esters 5.000, fatty alcs. 4.000, tri-Et citrate 0.500, gelling agent 1.000, preservative 0.500, polyols 4.000, cyclomethicone 3.000, aluminum chloride 18.000, buchu extract 5.000, hamamelis extract 3.000, perfume 2.000, and water q.s. 100%.

L14 ANSWER 12 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:556764 HCAPLUS
DOCUMENT NUMBER: 137:259743
TITLE: GP17 affects cell-wall protein anchorage in Saccharomyces cerevisiae and Candida albicans
AUTHOR(S): Richard, Mathias; De Groot, Piet; **Courtin, Olivier**; Poulain, Daniel; Klis, Frans; Gaillardin, Claude
CORPORATE SOURCE: Laboratoire de Genetique Moleculaire et Cellulaire, Institut National Agronomique Paris-Grignon, UMR-INRA216, URA-CNRS1925, Thiverval-Grignon, 78850, Fr.
SOURCE: Microbiology (Reading, United Kingdom) (2002), 148(7), 2125-2133
CODEN: MROBEO; ISSN: 1350-0872
PUBLISHER: Society for General Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Glycosylphosphatidylinositol (GPI)-anchoring represents a mechanism for attaching proteins to the cell surface of all eukaryotic cells. Two localizations of GPI proteins have been observed in the yeasts Saccharomyces cerevisiae and Candida albicans: plasma membrane and cell wall. The signals and the mechanisms involved in this differential targeting are presently not well understood. Here several cell-wall-related phenotypes of a gpi7/las21 deletion are described, where GPI7/LAS21 encodes a GPI-anchor-modifying activity. In both organisms, the structure and composition of the cell wall was modified, with a clear increase in chitin deposition. Cell-wall-targeted proteins accumulated in the growth medium,

whereas the protein content of the cell wall decreased significantly, suggesting inefficiency of the covalent linkage. The level of plasma-membrane-targeted GPI proteins was not affected. Sequence analyses revealed that gene families involved in the addition of phosphoethanolamines to the core GPI anchor are highly conserved between eukaryotes, with the exception of the Gpi7 family which seems to be fungus-specific. These data are compatible with the notion that the phosphoethanolamine added by Gpi7 protein to the GPI anchor is a key factor in the covalent linkage of cell-wall proteins to fungal cell-wall components.

REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 13 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:484928 HCAPLUS
DOCUMENT NUMBER: 137:24130
TITLE: Cosmetic compositions for lip care comprising plant extracts and a tripeptide
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Laboratoires Clarins, Fr.
SOURCE: Fr. Demande, 12 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2814063	A1	20020322	FR 2000-11818	20000915
FR 2814063	B1	20021129		
PRIORITY APPLN. INFO.:			FR 2000-11818	20000915

AB Cosmetic compns. for lip care comprising protein extract of Hibiscus esculentus grains, vegetable oil exts. of Irvingia gabonensis, and Gly-His-Lys or its derivs. are used for lip care. Exts. of H. esculentus grains and I. gabonensis were prepared A lipstick contained vegetable oils 20.00, triglycerides 10.0, fatty acid esters 28.0, karite butter 5.0, silicone wax 4.0, vegetable wax 20.0, ozokerite 2.0, titanium oxide 1.0, dermacerides 1.7, moisturizers 1.0, Gly-His-Lys tripeptide conjugated to palmitic acid 3.0, H. esculentus extract 1.0, I. gabonensis extract 3.0, and fragrance 0.3%.

L14 ANSWER 14 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:372024 HCAPLUS
DOCUMENT NUMBER: 136:345477
TITLE: Moisturizing cosmetic composition comprising a plant trypsin inhibitor
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Laboratoires Clarins, Fr.
SOURCE: Fr. Demande, 12 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2811226	A1	20020111	FR 2000-7156	20000605
FR 2811226	B1	20030620		
PRIORITY APPLN. INFO.:			FR 2000-7156	20000605

AB A moisturizing cosmetic composition comprising a plant protein or an plant extract
having trypsin inhibitor activity is disclosed. Trypsin and chymotrypsin inhibitor activity of prohibin was shown in vitro. Formulation of a moisturizing cosmetic composition containing 1% prohibine was disclosed.

L14 ANSWER 15 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:372023 HCAPLUS
DOCUMENT NUMBER: 136:345476
TITLE: Cosmetic composition for care of sensitive skin containing oleanolic acid
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Laboratoires Clarins, Fr.
SOURCE: Fr. Demande, 13 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2811224	A1	20020111	FR 2000-8758	20000705
FR 2811224	B1	20020823		
PRIORITY APPLN. INFO.:			FR 2000-8758	20000705

AB Cosmetic compns. for care of sensitive skin containing oleanolic acid or a plant extract rich in oleanolic acid, or a plant extract such as exts. of Solanum lycocarpum or shea tree are claimed. Olive leaves were extracted with 96% alc., then filtered and evaporated, then washed with water and dissolved in 96% alc. and filtered over active carbon. A composition contained above extract containing 50% oleanic acid 10, olive oil 80, and Polysorbate-80 10%. Formulation of cosmetic containing oleanic acid are disclosed.

L14 ANSWER 16 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:627306 HCAPLUS
DOCUMENT NUMBER: 135:185202
TITLE: Cosmetic thinning compositions for the face containing keratoline and an lipogenesis inhibitors
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Laboratoires Clarins, Fr.
SOURCE: Fr. Demande, 14 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2801789	A1	20010608	FR 1999-15206	19991202
FR 2801789	B1	20020920		
PRIORITY APPLN. INFO.:			FR 1999-15206	19991202

AB The title compns. are claimed. The lipogenesis inhibitor is an extract of a plant rich in hydroxycitrate, such as Garcinia Cambodia fruit extract A lotion contained glycerin 3.000, sequestering agent 0.300, chest nut extract 1.000, Ginkgo biloba extract 1.000, butcher's broom 1.000, garcinol 1.000, caffeine 0.500, keratoline 0.500, silicon derivs. 3.000, solubilizers 1.000, perfume 0.500, preservatives 0.500, and water q.s. 100%.

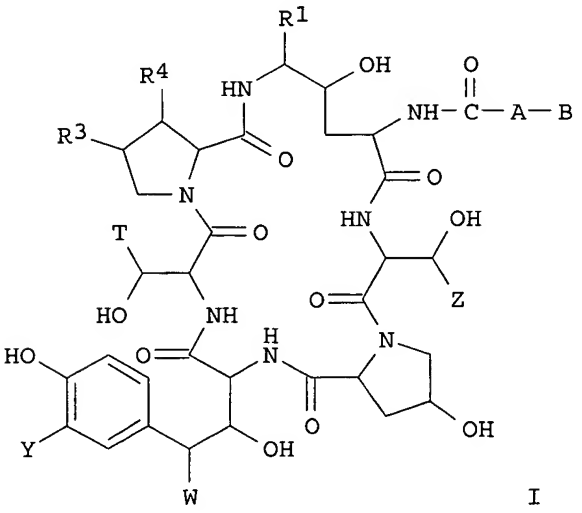
L14 ANSWER 17 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:618023 HCAPLUS
DOCUMENT NUMBER: 135:180953
TITLE: Preparation of novel echinocandin derivatives as fungicides
INVENTOR(S): **Courtin, Olivier**; Dussarat, Arlette; Melon-Manguer, Dominique; Schio, Laurent
PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001060845	A1	20010823	WO 2001-FR419	20010214
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2804957	A1	20010817	FR 2000-1844	20000215
FR 2804957	B1	20031128		
CA 2402219	AA	20010823	CA 2001-2402219	20010214
EP 1257568	A1	20021120	EP 2001-907783	20010214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004014602	A1	20040122	US 2002-220829	20021203
US 6864233	B2	20050308		

PRIORITY APPLN. INFO.: FR 2000-1844 A 20000215
WO 2001-FR419 W 20010214

OTHER SOURCE(S): MARPAT 135:180953
GI



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AB Echinocandin derivs. I [R1 = H, OH, (un)substituted alkoxy, alkenyloxy or alkynyloxy; R3 = H, Me, OH; R4, W = H, OH; A = O, CH2, NH; B is a steroid residue; T = H, Me, CH2CONH2, CH2C.tplbond.N, (CH2)2NH2 or alkylaminoethyl; Y = H, OH, halo, OSO3H or salts; Z = H, Me] were prepared as antifungal agents. Thus, 1-[(4R,5R)-4,5-dihydroxy-N2-[[[(3β,22E)-ergosta-5,7,22-trien-3-yl]oxy]carbonyl]-L-ornithine]deoxymulundocandin was prepared by treating ergosterol with diphosgene in CH2Cl2 in the presence of Et3N and treating the product with deoxymulundocandin.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 18 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:564804 HCAPLUS
DOCUMENT NUMBER: 135:141980
TITLE: Slimming cosmetic composition comprising as active agent a plant extract containing a plant natriuretic peptide
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Laboratoires Clarins, Fr.
SOURCE: PCT Int. Appl., 9 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001054659	A2	20010802	WO 2001-FR160		20010118
WO 2001054659	A3	20020314			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
FR 2804319	A1	20010803	FR 2000-1151		20000128
FR 2804319	B1	20021025			
CA 2398631	AA	20010802	CA 2001-2398631		20010118
EP 1250124	A2	20021023	EP 2001-907625		20010118
EP 1250124	B1	20051123			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004504267	T2	20040212	JP 2001-555638		20010118
AU 782152	B2	20050707	AU 2001-35543		20010118
US 2003007988	A1	20030109	US 2002-198293		20020718
PRIORITY APPLN. INFO.:			FR 2000-1151	A	20000128
			WO 2001-FR160	W	20010118

AB The invention concerns a slimming cosmetic composition characterized in that it comprises a plant natriuretic peptide (PNP) as active agent and more particularly a plant extract containing PNP. The amount of PNP in the cosmetic composition is 0.1-10% (no data).

L14 ANSWER 19 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:172208 HCAPLUS
DOCUMENT NUMBER: 134:197868

TITLE: Cosmetic composition based on a plant extract for care of greasy skins
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Laboratoires Clarins, Fr.
SOURCE: Fr. Demande, 20 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2795321	A1	20001229	FR 1999-8237	19990628
FR 2795321	B1	20010921		

PRIORITY APPLN. INFO.: FR 1999-8237 19990628

AB A cosmetic composition containing an extract of a sulfur-containing plant, an extract of a salicylate-containing plant, and an agent for prevention or reducing keratinocyte proliferation is disclosed for the care of greasy skins. Extract of white nettle was prepared and its sulfur content was measured. Formulation of cosmetics containing plant exts. including white nettle extract was disclosed.

L14 ANSWER 20 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:792680 HCAPLUS
DOCUMENT NUMBER: 133:325462
TITLE: Cosmetic composition based on plant extracts containing auxin
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Clarins, Fr.
SOURCE: Fr. Demande, 11 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2789901	A1	20000825	FR 1999-2153	19990222
FR 2789901	B1	20020830		

PRIORITY APPLN. INFO.: FR 1999-2153 19990222

AB Cosmetic compns. based on plant exts., e.g. sunflower and sequoia, containing auxin are disclosed. The compns. are useful for prevention or treatment of the skin aging. An aqueous extract of sunflower was prepared and dried to obtain 50 ppm auxin in the dried material. A cream containing 5.1 g of the above extract was prepared Antiaging efficacy of the cream was shown in volunteers after 28 days of application.

L14 ANSWER 21 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:620686 HCAPLUS
DOCUMENT NUMBER: 133:182791
TITLE: Cosmetic composition containing acetylsalicylic acid and rosemary extract
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Clarins, Fr.
SOURCE: Fr. Demande, 12 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent

LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2786695	A1	20000609	FR 1998-15394	19981207
FR 2786695	B1	20010202		

PRIORITY APPLN. INFO.: FR 1998-15394 19981207

AB Cosmetic composition containing acetylsalicylic acid (I) 0.01-5, and rosemary extract 0.5-5% are disclosed for the treatment of sunburn. Formulation of a gel containing I 1, and rosemary extract 1% is disclosed.

L14 ANSWER 22 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:396788 HCAPLUS
DOCUMENT NUMBER: 133:22159
TITLE: Cosmetic makeup composition based on silicone oil, crosslinked organopolysiloxane polymer, and powder
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Clarins, Fr.
SOURCE: Fr. Demande, 7 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2780642	A1	20000107	FR 1998-8450	19980702
FR 2780642	B1	20010601		

PRIORITY APPLN. INFO.: FR 1998-8450 19980702

AB The title composition is disclosed. A makeup gel contained cyclomethicone 69, dimethicone 19, crosslinked dimethicone-vinyldimethicone copolymer 11, and silica 1%.

L14 ANSWER 23 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:531766 HCAPLUS
DOCUMENT NUMBER: 131:134435
TITLE: New self-tanning and dehydrating cosmetic compositions containing erythrulose and aloe extract
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Clarins S. A., Fr.
SOURCE: Fr. Demande, 9 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2772268	A1	19990618	FR 1997-15841	19971215
FR 2772268	B1	20000303		

PRIORITY APPLN. INFO.: FR 1997-15841 19971215

AB Self-tanning and dehydrating cosmetic compns. containing erythrulose and aloe extract are claimed. A self-tanning lotion contained butylene glycol 3.000, glycerin 5.000, aloe extract 3.000, betula extract 1.000, dihydroxyacetone 4.000, erythrulose 1.000, phenonip 0.7000, Me benzylidene camphor 1.000,

perfume 0.400, ethoxylated hydrogenated castor oil 2.000, and water q.s. 100%.

L14 ANSWER 24 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:768376 HCAPLUS
DOCUMENT NUMBER: 129:335507
TITLE: Red lipstick comprising an inner and outer part
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Clarins S. A., Fr.
SOURCE: Fr. Demande, 12 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2759902	A1	19980828	FR 1997-2161	19970224
PRIORITY APPLN. INFO.:			FR 1997-2161	19970224

AB A Red lipstick comprising an inner part which contains pigments and film-forming agents and outer part which contains emollients and thickeners is claimed. The lipstick moisturizes lips for a long period of time. A lipstick contained ceramides, pyrrolidonecarboxylic acid, waxes, preservatives and fragrance in the inner part and pigments, polymers, aloe extract, starch, mica and fragrances in the outer part.

L14 ANSWER 25 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:95226 HCAPLUS
DOCUMENT NUMBER: 128:106251
TITLE: Sunscreen compositions containing betulinic acid
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Clarins S. A., Fr.
SOURCE: Fr. Demande, 8 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2749510	A1	19971212	FR 1996-7106	19960607
FR 2749510	B1	20010105		
PRIORITY APPLN. INFO.:			FR 1996-7106	19960607

AB Sunscreen compns. containing betulinic acid, as betula extract, are claimed. A sunscreen composition contained glycerol myristate 4.0, potassium cetylphosphate 2.0, propylene glycol dioctoate 10.0, triglycerides 5.0, sesame oil 2.0, silicone oil 8.0, PVP 2.0, betula extract (containing 700 ppm betulinic acid) 5.0, octyl methoxy cinnamate 7.5, benzophenone-3 5.0, micronized titanium oxide 5.0, mica 4.0, cytophotoimmunoprotector agent 5.0, glycolic extract of aloe 4.0, xanthan gum 0.1, CM-cellulose 0.2, fragrance 0.5, perfumes 0.5, preservatives 0.5, and water q.s. 100%.

L14 ANSWER 26 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:240410 HCAPLUS
DOCUMENT NUMBER: 126:229409
TITLE: Sunlight-activated cosmetic compositions for protection against the skin aging.
INVENTOR(S): **Courtin, Olivier**

PATENT ASSIGNEE(S): Clarins, Fr.
SOURCE: Fr. Demande, 11 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2734721	A1	19961206	FR 1995-6597	19950602
FR 2734721	B1	19970814		

PRIORITY APPLN. INFO.: FR 1995-6597 19950602

AB Sunlight-activated cosmetic compns. for protection against the skin aging and wrinkle prevention consist of at least 1 aqueous phase and/or 1 oily phase consisting of aqueous soluble or lipid-soluble substances, resp. The compns. contain a precursor of vitamin D (e.g., ergosterol) which is transformed to vitamin D under the action of sunlight. Thus, a formulation contained glucose cetaryl ether 5.00, glycerol stearate 2.00, triglycerides 6.00, peanut oil 8.00, cetaryl isononanoate 6.00, sunscreen 2.00, preservatives 1.00, neutralized acrylic polymer 0.40, silicone oil 0.50, ergosterol 0.10-5, poly(glyceryl methacrylate) 5.00, Vitacreatine (precursor of phosphocreatine obtained by the fermentation of Lactobacillus) 0.10-5, germanium derivative 0.01-1, Hierogaline (mixture of distillates of sesame and wheat germ oil) 0.10-5, Durvillea antarctica extract 0.10-5, melanin 0.01-1, Polyporus officinalis extract 0.10-5, pigment 0.10-3, perfume 0.30, antipollution principle 0.10-5 and water to 100%.

L14 ANSWER 27 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:631993 HCAPLUS
DOCUMENT NUMBER: 125:256778
TITLE: Antiobesity compositions containing proteolytic enzymes and plant extracts
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Clarins, Fr.
SOURCE: Fr. Demande, 10 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2729856	A1	19960802	FR 1995-1029	19950130
FR 2729856	B1	19970411		

PRIORITY APPLN. INFO.: FR 1995-1029 19950130

AB The title compns. containing proteolytic enzymes, e.g. keratoline, and plant exts. are disclosed. The compns. may also have a lipogenesis inhibitor, e.g. Garcinia cambogia seed shell extract which is rich in hydroxycitrates (no data).

L14 ANSWER 28 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:170901 HCAPLUS
DOCUMENT NUMBER: 124:211523
TITLE: Cosmetic preparation containing α-hydroxy acids for improvement of skin surface by removing corneocytes
INVENTOR(S): **Courtin, Olivier**

PATENT ASSIGNEE(S): Clarins, Fr.
SOURCE: Fr. Demande, 9 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2720643	A1	19951208	FR 1994-6837	19940603
FR 2720643	B1	19960726		

PRIORITY APPLN. INFO.: FR 1994-6837 19940603

AB Cosmetic compns. containing α-hydroxy acids are used for improvement of skin surface by removing corneocytes. The compns. contain free α-hydroxy acids, e.g. lactic acid 0.3-1, α-hydroxy acid salts, e.g. Na lactate 1.5-2, α-hydroxy acids conjugated to a protein, e.g. oats protein malate 2-5, karanja-pongamia extract 0.1-1, Langerhans cells protecting complex 0.5-5, oligosaccharides 0.5-5%.

L14 ANSWER 29 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:372976 HCAPLUS
DOCUMENT NUMBER: 122:142028
TITLE: Anti-aging cosmetic compositions containing metal ion binding agents and free radical scavengers
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Clarins S. A., Fr.
SOURCE: Fr. Demande, 7 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2706294	A3	19941223	FR 1993-6893	19930609
FR 2706294	B3	19950421		
FR 2706301	A1	19941223	FR 1993-9635	19930804
FR 2706301	B1	19950901		

PRIORITY APPLN. INFO.: FR 1993-6893 A 19930609

AB An anti-aging cosmetic compns. containing metal ion binding agents and free radical scavengers is disclosed. The composition contained glycerol stearate 3.0, ethoxylated cholesterol 0.5, glucose ether 1.0, perhydrosqualene 3.0, dioctyl succinate 3.0, silicone oil 8.0, orange flower wax 1.0, lime extract 2.0, polyacrylamide 1.5, kiwi oil 1.0, biotin 0.01, retinol propionate 0.1, honey in 20% glycolic solution 2.0, Noctoferrine (a glycoprotein) 4.0, soya lecithin 1.0, perfumes 0.2, preservative 0.5, and water q.s. 100%.

L14 ANSWER 30 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:200170 HCAPLUS
DOCUMENT NUMBER: 120:200170
TITLE: Cosmetic composition for protection against atmospheric pollutants
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Clarins S. A., Fr.
SOURCE: Fr. Demande, 11 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2688137	A1	19930910	FR 1992-2611	19920304
FR 2688137	B1	19940708		

PRIORITY APPLN. INFO.: FR 1992-2611 19920304

AB A moisturizing cosmetic for protection of the skin against atmospheric pollutants is disclosed. The composition contains a mixture of liposol. compds. (perfluoropolyether, γ-orizanol, vitamin E) and water-soluble compds. (wheat proteins, yeast extract, ginseng extract, marine algae extract), and ≥1 moisturizing agent (mannitol-glycogen mixture, polyethylene-glucose Me ether mixture).

L14 ANSWER 31 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:518224 HCAPLUS
DOCUMENT NUMBER: 117:118224
TITLE: Cosmetic emulsions as make-ups and skin protectants
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Clarins, Fr.
SOURCE: Fr. Demande, 10 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2664162	A1	19920110	FR 1990-8507	19900704
FR 2664162	B1	19921023		
JP 04230308	A2	19920819	JP 1991-164552	19910704
JP 3192169	B2	20010723		

PRIORITY APPLN. INFO.: FR 1990-8507 A 19900704

AB Cosmetic emulsions contain babassu and wild rose oil, perfluoroether and γ-oryzanol (terpene alc. ester of ferulic acid) in the hydrophobic phase, and cetyl K phosphate, EDTA salt, 18 β-glycyrrhetinic acid and glycolic honey extract in the hydrophilic phase. The compns. may also contain stearates, Fe oxide pigments, stabilizers and preservatives. The emulsions are useful as make-ups and skin protectants.

L14 ANSWER 32 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:507116 HCAPLUS
DOCUMENT NUMBER: 117:107116
TITLE: Glutathione oxidase activity of selenocystamine: a mechanistic study
AUTHOR(S): Chaudiere, Jean; **Courtin, Olivier**; LeClaire, Jacques
CORPORATE SOURCE: Cent. Rech. Roussel-UCLAF, Romainville, 93230, Fr.
SOURCE: Archives of Biochemistry and Biophysics (1992), 296(1), 328-36
CODEN: ABBIA4; ISSN: 0003-9861
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Selenocystamine (RSe-SeR) was shown to catalyze the oxygen-mediated oxidation of excess GSH to glutathione disulfide, at neutral pH and ambient PO2. This glutathione oxidase activity required the heterolytic reduction of the diselenide bond, which produced two equivalent of the selenolate derivative

selenocysteamine (RSe-), via the transient formation of a selenenylsulfide intermediate (RSe-SG). Formation of RSe- was the only reaction observed in anaerobic conditions. At ambient PO2, the kinetics and stoichiometry of GSSG production as well as that of GSH and oxygen consumptions demonstrated that RSe- performed a three-step reduction of oxygen to water. The first step was a one-electron transfer from RSe- to dioxygen, yielding superoxide and a putative selenyl radical RSe•, which decayed very rapidly to RSe-SeR. In the second step, RSe- reduced superoxide to hydrogen peroxide through a much faster one-electron transfer, also associated with the decay of RSe• to RSe-SeR. The third step was a two-electron transfer from RSe- to hydrogen peroxide, again much faster than oxygen reduction, which resulted in the production of RSe-SG, presumably via a selenenic acid intermediate (RSeOH) which was trapped by excess GSH. This third step was studied on exogenous hydroperoxide in anaerobic conditions, and it could be eliminated from the glutathione oxidase cycle in the presence of excess catalase. The role of RSe- as a one- and two-electron reductant was confirmed by competitive carboxymethylation with iodoacetate. RSe- was able to rapidly reduce ferric cytochrome c to its ferrous derivative The overall rate of catalytic glutathione oxidation was GSH concentration dependent and oxygen concentration independent. Excess glutathione reductase and NADPH increased the catalytic oxidation of GSH, probably by switching the rate-limiting step from selenenylsulfide to diselenide cleavage. When GSH was substituted for dithiothreitol, it was shown to reduce RSe-SeR to RSe- in a fast and quant. reaction, and selenocystamine behaved as a dithiothreitol oxidase, whose catalytic cycle was dependent on oxygen concentration The oxidase cycle of glutathione was inhibited by mercaptosuccinate, while that of dithiothreitol was not affected. When mercaptosuccinate was substituted for GSH, a stable selenenylsulfide was formed. These observations suggest that electrostatic interactions affect the reductive cleavage of diselenide and selenenylsulfide linkages. This study illustrates the ease of one-electron transfers from RSe- to a variety of reducible substrates. Such free radical mechanisms may explain much of the cytotoxicity of alkylselenols, and they demonstrate that selenocystamine is a poor catalytic model of the enzyme glutathione peroxidase.

L14 ANSWER 33 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:578034 HCAPLUS
DOCUMENT NUMBER: 113:178034
TITLE: Ximenynic acid-containing cosmetic composition for dehydrated sensitive skin
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Clarins S. A., Fr.
SOURCE: Fr. Demande, 9 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2633516	A1	19900105	FR 1988-8881	19880630
FR 2633516	B1	19910329		
PRIORITY APPLN. INFO.:			FR 1988-8881	19880630

AB The title composition comprises ximenynic acid 0.01-10, batyl alc. 0.05-10, and 18β-glycyrrhetinic acid 0.01-5 parts in 100 parts oily excipient or emulsion. A composition comprised capric and caprylic triglycerides 48.00, stearyl heptanoate 2.00, perhydrosqualene 49.78, Pr p-hydroxybenzoate

0.20, butylhydroxytoluene 0.02, ximenynic acid 0.6, batyl alc. 1.5, and 18β-glycyrrhetinic acid 0.15 parts by weight

L14 ANSWER 34 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:578033 HCAPLUS
DOCUMENT NUMBER: 113:178033
TITLE: Moisturizing cosmetic composition comprising liquid crystals
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Clarins S. A., Fr.
SOURCE: Fr. Demande, 9 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2633515	A1	19900105	FR 1988-8880	19880630
FR 2633515	B1	19920410		
PRIORITY APPLN. INFO.:			FR 1988-8880	19880630

AB A moisturizing cosmetic composition comprises liquid crystal structures and contains an aqueous and an oily phase, dispersed in one-another, a hygroscopic material and a material which forms an impermeable lipid film on the skin. A composition comprised sorbitan monooleate 6.5, polysorbate-60 4.0, cetearyl octanoate 3.0, silicone oil 0.5, cetyl alc. 3.0, stearyl alc. 2.0, caprylic capric triglycerides 8.0, vaseline oil 4.0, γ-oryzanol 0.4, 18 β-glycyrrhetinic acid 0.3, allantoin 0.2, glycerol 5.0, Carbopol-934 0.2, triethanolamine 0.2, aloe extract 5.0, 20% honey solution in glycol 3.0, borage oil 0.5, safflower oil 0.5, tocopherol acetate 0.3, perfume 0.3, preservative 0.3, and water to 100 (no units given).

L14 ANSWER 35 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1988:226675 HCAPLUS
DOCUMENT NUMBER: 108:226675
TITLE: Cosmetic containing antioxidants to delay the aging of skin
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Fr.
SOURCE: Fr. Demande, 10 pp. Addn. to Fr. Demande Appl. No. 84 16038.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2597337	A2	19871023	FR 1987-88	19870107
FR 2597337	B2	19920703		
FR 2571961	A1	19860425	FR 1984-16038	19841019
FR 2571961	B1	19891013		
EP 279136	A2	19880824	EP 1987-402962	19871222
EP 279136	A3	19880907		
R: CH, DE, GB, IT, LI				
PRIORITY APPLN. INFO.:			FR 1984-16038	19841019
			FR 1987-88 A	19870107

AB The title cosmetic comprises a composition containing water-soluble active principle

in form of an aqueous solution and a composition containing fat-soluble active principle in form of an oily or fatty medium; the compns. are preserved sep. and the concentration ≤1 active principle is higher than if it were contained in a conventional emulsion. The composition contains ≥1 active principle capable of impeding the aging process of the skin induced by free radicals. An aqueous composition contained silanol mannuronate 3, cattle spleen extract 5, marrow extract 5, silymarin 2, PCA Na salt 5, panthenol 0.5, mucopolysaccharides 1.5, amino acids derived from vegetables 2, Echinacea vegetable extract, pollen extract 3, Acerola fruit extract 2, and oligo-elements (sic) 2% by weight An oily composition contained unsaponified components of Sija-Karite avocado 3, Pendadesma butter 1, nut oil 5, natural tocopherols 3, wheat germ oil 3, strawberry seed oil 3, borage oil 5, γ-oryzanol 0.5, Sisymbrium irio oil 2, and Bombyx mori oil 1% by weight The aqueous and the oily composition are mixed prior to use or applied sep. to the skin.

L14 ANSWER 36 OF 36 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1986:502350 HCAPLUS
DOCUMENT NUMBER: 105:102350
TITLE: Cosmetic preparation to retard the ageing of skin
INVENTOR(S): **Courtin, Olivier**
PATENT ASSIGNEE(S): Clarins S. A., Fr.
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 180505	A1	19860507	EP 1985-402002	19851015
EP 180505	B1	19900926		
R: CH, DE, GB, IT, LI				
FR 2571961	A1	19860425	FR 1984-16038	19841019
FR 2571961	B1	19891013		
FR 2577421	A2	19860822	FR 1985-2518	19850221
FR 2577421	B2	19900105		
PRIORITY APPLN. INFO.:			FR 1984-16038 A	19841019
			FR 1985-2518 A	19850221

AB The title composition comprises the consecutive application of 2 prepns. The 1st preparation is an aqueous composition containing silanol mannuronate, bone marrow extract, silymarin, cattle spleen extract, Na pyrrolidonecarboxylate (PCANa), panthenol, mucopolysaccharides, plant amino acids, andt Echinacea extract The 2nd preparation is a fatty composition containing soybean, avocado, and butter-free unsaponifiables, walnut oil and Pentadesma butter.

=> => d stat que l15 nos
L3 STR
L5 11 SEA FILE=REGISTRY SSS FUL L3
L8 STR
L9 7 SEA FILE=REGISTRY SUB=L5 SSS FUL L8
L10 4 SEA FILE=HCAPLUS ABB=ON PLU=ON L9
L11 4 SEA FILE=REGISTRY ABB=ON PLU=ON L5 NOT L9

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L12             1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L11
L13             1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L12 NOT L10
L14            36 SEA FILE=HCAPLUS ABB=ON  PLU=ON  "COURTIN OLIVIER"/AU NOT (L10
                  OR L13)
L15            14 SEA FILE=HCAPLUS ABB=ON  PLU=ON  "FAUVEAU PATRICK"/AU NOT (L10
                  OR L13 OR L14)


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L15  ANSWER 1 OF 14  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2002:255768  HCAPLUS
DOCUMENT NUMBER:          137:201573
TITLE:                    Synthesis of new echinocandin derivatives via a
                          diol-keto transposition
AUTHOR(S):                Aszodi, Jozsef; Fauveau, Patrick;
                          Melon-Manguer, Dominique; Ehlers, Eberhard; Schio,
                          Laurent
CORPORATE SOURCE:         Medicinal Chemistry, Aventis Pharma, Romainville,
                          F-93235, Fr.
SOURCE:                   Tetrahedron Letters (2002), 43(16), 2953-2956
                          CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER:                Elsevier Science Ltd.
DOCUMENT TYPE:            Journal
LANGUAGE:                 English
OTHER SOURCE(S):          CASREACT 137:201573
AB    A new diol-carbonyl transposition reaction has been discovered in
      echinocandin type structures.  An α-hydroxy hemiaminal moiety has
      been shown to undergo a pinacol-type rearrangement in the presence of
      trimethylsilyl iodide to afford ketone derivs.  Applied to
      deoxymulundocandin, this transposition led to a useful intermediate for
      further chemical modification.
REFERENCE COUNT:          23    THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15  ANSWER 2 OF 14  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2000:881187  HCAPLUS
DOCUMENT NUMBER:          134:17732
TITLE:                    Novel echinocandin derivatives, method for preparing
                          same and use as antifungal agents
INVENTOR(S):              Corbier, Alain; Fauveau, Patrick;
                          Pietre-Dischamp, Nathalie; Schio, Laurent; Vicat,
                          Pascale
PATENT ASSIGNEE(S):       Hoechst Marion Roussel, Fr.
SOURCE:                   PCT Int. Appl., 34 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 French
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     WO 2000075178         A1    20001214    WO 2000-FR1569          20000608
         W:  AE, AG, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, DM, DZ, EE,
             GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV,
             MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA,
             US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
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	CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2794747		A1	20001215	FR 1999-7252	19990609
FR 2794747		B1	20040416		
CA 2376490		AA	20001214	CA 2000-2376490	20000608
EP 1189932		A1	20020327	EP 2000-940456	20000608
EP 1189932		B1	20030521		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003504309		T2	20030204	JP 2001-502459	20000608
AT 240971		E	20030615	AT 2000-940456	20000608
PT 1189932		T	20030930	PT 2000-940456	20000608
ES 2194744		T3	20031201	ES 2000-940456	20000608
PRIORITY APPLN. INFO.:				FR 1999-7252 A	19990609
				WO 2000-FR1569 W	20000608

OTHER SOURCE(S): CASREACT 134:17732; MARPAT 134:17732
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention concerns cyclic peptides I wherein: R = chain containing up to 30 carbon atoms, optionally containing one or several heteroatoms, one or several heterocycles; either R1 and R2 = H, OH, alkyl optionally substituted, or NR1 forms with the carbon bearing NR1R2 a double bond and R2 is XRa, X being O, NH or N-alkyl and Ra being H, alkyl optionally substituted; R3 = H, OH, CH3; R4 = H, OH; T = H, CH3, CH2CONH2, CH2CN, (CH2)2NH2; Y = H, OH, halogen, OSO3H; W = H, OH; Z = H or CH3. The products of formula I have antifungal properties. Thus, trans-1-[4-[(2-aminocyclo-hexyl)amino]-N2-[[4-[5-[4-(pentyloxy)phenyl]-3-isoxazolyl]phenyl]carbonyl]-L-ornithine]-4-[4-(4-hydroxyphenyl)-L-threonine]-5-L-serine-echinocandin B trifluoroacetate was prepared and tested for its inhibition of glucan synthase of Candida albicans.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:326896 HCAPLUS
DOCUMENT NUMBER: 126:305492
TITLE: Preparation of cephalosporins containing benzyloxyimino moiety in the 7 position as antibacterials
INVENTOR(S): Aszodi, Jozsef; **Fauveau, Patrick**; Humbert, Daniel
PATENT ASSIGNEE(S): Roussel-Uclaf, Fr.
SOURCE: Jpn. Kokai Tokkyo Koho, 36 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09059281	A2	19970304	JP 1996-232644	19960815
FR 2737893	A1	19970221	FR 1995-9822	19950816
FR 2737893	B1	19970912		
ZA 9606465	A	19970730	ZA 1996-6465	19960730
EP 761672	A1	19970312	EP 1996-401777	19960813

EP 761672	B1	20011107			
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
AT 208396	E	20011115	AT 1996-401777		19960813
ES 2164853	T3	20020301	ES 1996-401777		19960813
PT 761672	T	20020429	PT 1996-401777		19960813
CA 2183469	AA	19970217	CA 1996-2183469		19960815
AU 9662098	A1	19970220	AU 1996-62098		19960815
AU 708973	B2	19990819			
CN 1152575	A	19970625	CN 1996-102391		19960815
CN 1388120	A	20030101	CN 2002-118149		20020420
PRIORITY APPLN. INFO.:			FR 1995-9822	A	19950816
OTHER SOURCE(S):	MARPAT 126:305492				

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1, R2, R3, R5 = H, OH, halo, (halo)alkyl, etc.; R4 = OH, acyloxy; R6 = heterocyclyl containing ammonium group; R7 = H, alkoxycarbonyl; A = H, alkali, alkaline earth, Mg, ammonium, neg. charge, etc.] are prepared Thus, the title compound II was prepared in 7 steps from 2,5-dichloro-3,4-bis(2-methoxyethoxy)benzaldehyde via the amidation of thiazolylacetic acid III (Q = 2-methoxyethyl) with cephem derivative IV. This had an MIC of 0.086 μg/mL against Pseudomonas aeruginosa. Formulation of an injection containing I is described.

L15 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN

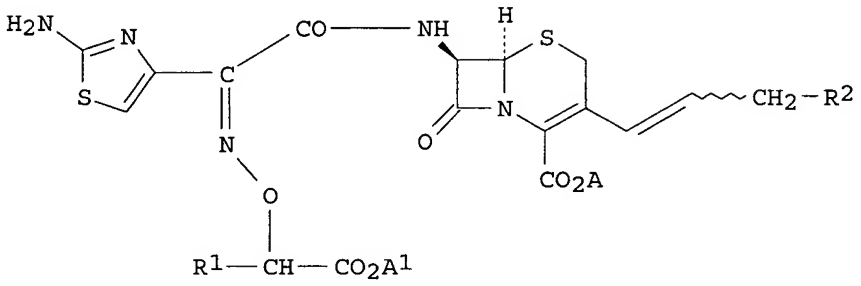
ACCESSION NUMBER:	1997:27054 HCAPLUS
DOCUMENT NUMBER:	126:131298
TITLE:	preparation and bactericidal activity of cephalosporins
INVENTOR(S):	Aszodi, Jozsef; Chantot, Jean-francois; **Fauveau, Patrick**; D'ambrieres, Solange G.; Hunbert, Daniel; Dini, Christophe
PATENT ASSIGNEE(S):	Roussel-UCLAF, Fr.
SOURCE:	U.S., 76 pp., Cont.-in-part of U.S. 5,455,238. CODEN: USXXAM
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5587372	A	19961224	US 1993-167192	19931213
FR 2684994	A1	19930618	FR 1991-15416	19911212
FR 2684994	B1	19950303		
FR 2696180	A1	19940401	FR 1992-11520	19920928
FR 2696180	B1	19941028		
ZA 9209626	A	19931213	ZA 1992-9626	19921211
US 5455238	A	19951003	US 1992-989235	19921211
EP 1016646	A1	20000705	EP 2000-200918	19921211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
FR 2699177	A1	19940617	FR 1993-6975	19930610
EP 628562	A1	19941214	EP 1993-402971	19931209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2111164	AA	19941211	CA 1993-2111164	19931210
AU 9352304	A1	19941215	AU 1993-52304	19931210

AU 676218	B2	19970306			
JP 06345776	A2	19941220	JP 1993-341001		19931210
ZA 9309284	A	19950203	ZA 1993-9284		19931210
HU 78025	A2	19990528	HU 1993-3540		19931210
CN 1096298	A	19941214	CN 1993-112861		19931211
US 5712266	A	19980127	US 1995-453923		19950530
US 5728828	A	19980317	US 1995-453990		19950530
US 5763617	A	19980609	US 1996-769488		19961218
JP 10029995	A2	19980203	JP 1997-82414		19970317
JP 3288951	B2	20020604			
US 6313305	B1	20011106	US 1997-900366		19970721
US 5883248	A	19990316	US 1997-903460		19970730
PRIORITY APPLN. INFO.:			FR 1991-15416	A	19911212
			FR 1992-11520	A	19920928
			US 1992-989235	A2	19921211
			EP 1992-403361	A3	19921211
			JP 1992-352801	A3	19921214
			FR 1993-6975	A	19930610
			US 1993-167192	A3	19931213
			US 1995-453923	A3	19950530
			US 1996-769488	A3	19961218

OTHER SOURCE(S): MARPAT 126:131298
GI



I

AB Synthesis of cephalosporins I [A and A1 individually = neg. charge, H, alkali or alkaline earth metal, Mg, NH4+ or amine; R1 = (un)substituted 3,4-dihydroxythiophene, 2-amino-1,2,4-thiadiazole, (un)substituted phenyl; R2 = quaternary ammonium of (un)substituted heterocycles or alkylamines] as bactericides are described. Thus, I (A1 = H, A = neg. charge, R1 = 2,4-difluoro-3,4-dihydroxyphenyl, R2 = imidazo[1,2-a]pyridinium) (II) is prepared in 9 steps by esterification of (2,5-difluoro-3,4-dihydroxyphenyl)hydroxyacetic acid, MomCl protection, phthalimidoxalation, hydrazinolysis, coupling with oxo-[2-[(triphenylmethyl)amino]thiazol]-4-ylacetic acid, reaction with 4-methoxybenzyl 7β-amino-3-[(Z)-3-chloro-1-propenyl]-8-oxo-5-thia-1-azabicyclo[4,2,0]oct-2-en-2-carboxylate hydrochloride, iodination, amidation with imidazo[1,2-a]pyridine followed by saponification II exhibits M.I.C.90 of 2.5 against oracillin-sensitive and penicillin-resistant Staphylococci aureus.

L15 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:214786 HCAPLUS
DOCUMENT NUMBER: 124:316866
TITLE: Novel cephalosporins having a substituted benzyloxyimino radical in position 7

INVENTOR(S): Aszodi, Jozsef; **Fauveau, Patrick**
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 55 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 693496	A1	19960124	EP 1995-401699	19950718
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
FR 2722790	A1	19960126	FR 1994-8912	19940719
FR 2722790	B1	19961004		
US 5710147	A	19980120	US 1995-499168	19950707
CA 2154227	AA	19960120	CA 1995-2154227	19950718
JP 08053463	A2	19960227	JP 1995-202782	19950718
CN 1120045	A	19960410	CN 1995-108948	19950718
HU 73769	A2	19960930	HU 1995-2158	19950718
AU 9525099	A1	19960201	AU 1995-25099	19950719
AU 700442	B2	19990107		
ZA 9506024	A	19960719	ZA 1995-6024	19950719

PRIORITY APPLN. INFO.: FR 1994-8912 A 19940719
OTHER SOURCE(S): MARPAT 124:316866
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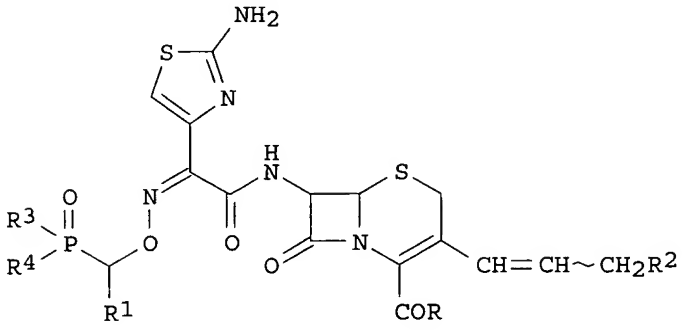


I

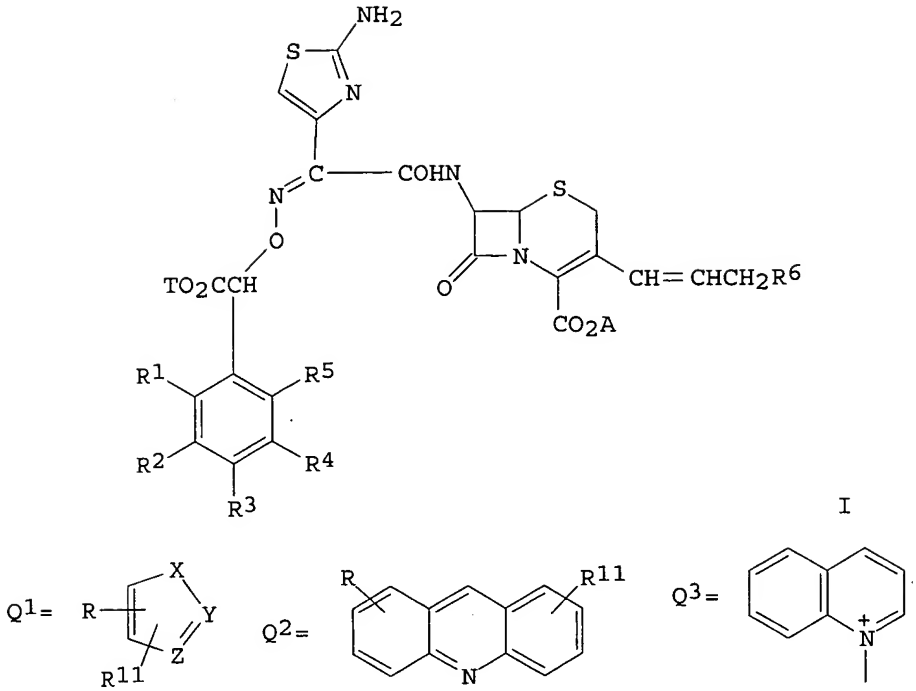
AB Title compds. I [R = OH, O-; R1 = Ph, substituted Ph; R2 = quaternary ammonium; R3 = alkyl, OH, alkoxy, Ph; R4 = OH, alkoxy] were prepared Thus, I [R = O-, R1 = 3,4-(HO)2C6H3, R3 = Me, R4 = OEt] was prepared and had a min. inhibitory concentration against penicillin-resistant Staphylococcus aureus of 0.35 μg/mL.

L15 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:244440 HCAPLUS
DOCUMENT NUMBER: 120:244440
TITLE: New cephalosporins comprising a 7-substituted benzyloxyimino group, process of preparation thereof, and their application as medication
INVENTOR(S): Aszodi, Jozsef; Chantot, Jean Francois; **Fauveau, Patrick**; Solange, Gouin D. Ambrieres; Humbert,

	Daniel
PATENT ASSIGNEE(S):	Roussel-UCLAF, Fr.
SOURCE:	Can. Pat. Appl., 163 pp.
	CODEN: CPXXEB
DOCUMENT TYPE:	Patent
LANGUAGE:	French
FAMILY ACC. NUM. COUNT:	2
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2085137	AA	19930613	CA 1992-2085137	19921211
FR 2684994	A1	19930618	FR 1991-15416	19911212
FR 2684994	B1	19950303		
FR 2696180	A1	19940401	FR 1992-11520	19920928
FR 2696180	B1	19941028		
EP 551034	A2	19930714	EP 1992-403361	19921211
EP 551034	A3	19930825		
EP 551034	B1	20000920		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
ZA 9209626	A	19931213	ZA 1992-9626	19921211
RU 2114852	C1	19980710	RU 1992-4562	19921211
KR 143092	B1	19980715	KR 1992-23908	19921211
HU 78024	A2	19990528	HU 1992-3929	19921211
HU 221478	B	20021028		
EP 1016646	A1	20000705	EP 2000-200918	19921211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
AT 196472	E	20001015	AT 1992-403361	19921211
ES 2149770	T3	20001116	ES 1992-403361	19921211
PT 551034	T	20010131	PT 1992-403361	19921211
CN 1073177	A	19930616	CN 1992-114376	19921212
CN 1061045	B	20010124		
AU 9230113	A1	19930617	AU 1992-30113	19921214
AU 664058	B2	19951102		
JP 06041148	A2	19940215	JP 1992-352801	19921214
FR 2699177	A1	19940617	FR 1993-6975	19930610
EP 628562	A1	19941214	EP 1993-402971	19931209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2111164	AA	19941211	CA 1993-2111164	19931210
AU 9352304	A1	19941215	AU 1993-52304	19931210
AU 676218	B2	19970306		
JP 06345776	A2	19941220	JP 1993-341001	19931210
ZA 9309284	A	19950203	ZA 1993-9284	19931210
HU 78025	A2	19990528	HU 1993-3540	19931210
CN 1096298	A	19941214	CN 1993-112861	19931211
AU 9534475	A1	19960208	AU 1995-34475	19951026
AU 693932	B2	19980709		
JP 10029995	A2	19980203	JP 1997-82414	19970317
JP 3288951	B2	20020604		
GR 3034937	T3	20010228	GR 2000-402644	20001129
PRIORITY APPLN. INFO.:			FR 1991-15416 A	19911212
			FR 1992-11520 A	19920928
			EP 1992-403361 A3	19921211
			JP 1992-352801 A3	19921214
			FR 1993-6975 A	19930610

OTHER SOURCE(S):	MARPAT 120:244440
GI	



I

AB The title compds. syn-I [as R or S isomers or (R, S) mixture and as inner salts, or salts with pharmaceutically acceptable acids; R1, R2, R3, R5 = H, halo, OH, alkoxy, etc.; R4 = OH, acyloxy, etc.; a proviso related to R1, R2, R3, and R5 is given; A, T = H, metal, etc.; or CO2A, CO2T = CO2-; CH2R6 may be in either E or Z position; R6 = Q1, Q2, etc.; X = CH2, NH, O, S; Y, Z = CH, N; a proviso related to Q1 and Q2 is given; R, R11 = halo, alkyl, alkoxy, etc.], useful as antibiotics, were prepared Reaction of 7β-[[[[[1-[2-chloro-3,4-bis[(2-methoxyethoxy)methoxy]phenyl]-2-oxo-2-(diphenylmethoxy)ethyl]oxy]imino]-[2-[(triphenylmethyl)amino]thiazol-4-yl]acetyl]amino]-3-[(Z)-3-iodo-1-propenyl]-8-oxo-5-thia-1-azabicyclo[4,2,0]oct-2-ene-2-carboxylic acid 4-methoxybenzyl ester with quinoline, followed by treatment with CF3CO2H and anisole and workup, gave [6R-[3(E),6α,7β(Z)]]-I (T = H; CO2A = CO2-; R1 = R2 = H; R3 = R4 = OH; R5 = Cl; R6 = Q3) (II). II in vitro exhibited MIC90 of 0.6 μg/mL against Pseudomonas aeruginosa. Formulations containing I are given.

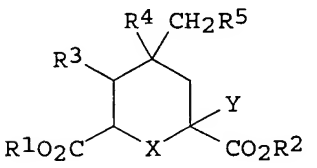
L15 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1991:429130 HCAPLUS
DOCUMENT NUMBER: 115:29130
TITLE: Preparation of 4-methylenepiperidine-2,6-dicarboxylates and analogs as antibiotics
INVENTOR(S): Agouridas, Constantin; **Fauveau, Patrick**
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

EP 418143	A1	19910320	EP 1990-402496	19900911
EP 418143	B1	19940323		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2651777	A1	19910315	FR 1989-11879	19890912
FR 2651777	B1	19911213		
JP 03106863	A2	19910507	JP 1990-236005	19900907
US 5081135	A	19920114	US 1990-580213	19900910
CA 2025036	AA	19910313	CA 1990-2025036	19900911
AT 103275	E	19940415	AT 1990-402496	19900911
ES 2062452	T3	19941216	ES 1990-402496	19900911
US 5141952	A	19920825	US 1991-716950	19910618
PRIORITY APPLN. INFO.:			FR 1989-11879 A	19890912
			US 1990-580213 A3	19900910
			EP 1990-402496 A	19900911

OTHER SOURCE(S): MARPAT 115:29130

GI



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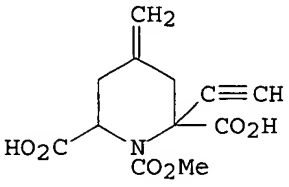

II

AB The title compds. [I; R1, R2 = H, alkyl, alkenyl, alkynyl, aryl, aralkyl, CH2O2CR7; 1 of R3R4, R4R5, R4R6 = bond and the others = H; R7 = alkyl, aryl; X = O, NR; R = H, CHO, CO2H, alkoxycarbonyl; Y = H, (un)substituted alkyl, alkenyl, alkynyl] were prepared Thus, MeSO2OCH(CO2Et)CH2C(:CH2)CH2C(C.tplbond.CSiMe3)(CO2Me)NHCO2Me was heated 3 h at 90° with K2CO3 in DMF to give, after 2 addnl. steps, title compound II which gave zones of inhibition of 17.5 and 31 mm in cultures of Escherichia coli 078 and Salmonella typhimurium MZ11, resp., at 100 mg/L.

L15 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1991:7260 HCAPLUS
DOCUMENT NUMBER: 114:7260
TITLE: Preparation of glutamic acid derivatives as immunostimulants
INVENTOR(S): Agouridas, Constantin; Damais, Chantal; **Fauveau, Patrick**
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Fr. Demande, 21 pp. Addn. to Fr. Demande 2,611,721.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2635779	A2	19900302	FR 1988-11155	19880824
FR 2611721	A1	19880909	FR 1987-2547	19870226
FR 2611721	B1	19900126		
US 5108990	A	19920428	US 1989-396631	19890821
JP 02111748	A2	19900424	JP 1989-216176	19890824

PRIORITY APPLN. INFO.: FR 1987-2547 19870226
US 1988-161163 A2 19880226
FR 1988-11155 A 19880824
OTHER SOURCE(S): CASREACT 114:7260; MARPAT 114:7260
AB HO2CCH(NH2)CH2C(:CH2)CH2CH(CO2H)NHCO(CH2)2CH(CO2H)NH-X-CO(CH2)16Me [I; X = bond, Ala], useful as an anticancer agents, antivirals, etc., are prepared E.g., I (X = Ala) was prepared in many steps via condensation of Me2CHCH2OC(O)OC(O)(CH2)2CH(CO2Me)NH-Ala-CO(CH2)16Me with EtO2CCH(NH2)CH2C(:CH2)CH2CH(NHCHO)CO2Et followed by hydrolysis. I stimulated the production of interleukin-1 and tumor necrosis factor in vitro.

L15 ANSWER 9 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:21289 HCAPLUS
DOCUMENT NUMBER: 112:21289
TITLE: Preparation, testing, and formulation of amino acid aminopimelic acid amides as antibacterials and immunomodulators.
INVENTOR(S): Agouridas, Constantin; **Fauveau, Patrick**; Damais, Chantal
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 315519	A2	19890510	EP 1988-402741	19881102
EP 315519	A3	19900228		
EP 315519	B1	19930324		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2622578	A1	19890505	FR 1987-15209	19871103
FR 2622578	B1	19900316		
DK 8806076	A	19890620	DK 1988-6076	19881101
AU 8824582	A1	19890525	AU 1988-24582	19881102
AU 615229	B2	19910926		
JP 01151543	A2	19890614	JP 1988-276337	19881102
HU 48568	A2	19890628	HU 1988-5678	19881102
HU 202471	B	19910328		
ZA 8808200	A	19900131	ZA 1988-8200	19881102
CA 1310445	A1	19921117	CA 1988-581930	19881102
AT 87299	E	19930415	AT 1988-402741	19881102
ES 2053787	T3	19940801	ES 1988-402741	19881102
US 5030715	A	19910709	US 1988-267190	19881103

PRIORITY APPLN. INFO.: FR 1987-15209 A 19871103
EP 1988-402741 A 19881102
OTHER SOURCE(S): CASREACT 112:21289; MARPAT 112:21289
AB HO2CCH2-U-CR(NHY)CO2H [I; R = H, (substituted) alkyl; U = CH:CHCH2, CH2CH:CH, CH2C(:CH2)CH2, etc.; Y = H, alanine residue, proline residue], useful as antibacterials and immunomodulators, are prepared via reaction of R1O2CCH(OH)-U-CX(NHR3)CO2R2 [II, R1, R2 = alkyl; X = R (defined as above), alkoxycarbonyl; R3 = acyl] with R4S(O)2R5 (R4 = halo; R5 = alkyl, aryl) followed by reduction and deprotection of the amine function, hydrolysis, etc. II (R1 = R2 = Et, R3 = CHO, X = CO2Et) (preparation given) in pyridine was treated with MeSO2Cl and then HCl, and the product refluxed with NaI and Zn in MeOCH2CH2OMe to give, after hydrolysis (HCl-EtOH) and decarboxylation (NaOH), I [R = Y = H, U = CH2C(:CH2)CH2]. 6-(Alanylamino)-3-heptenedioic acid (III) at 25 mg/L showed inhibition

zones of 24 and 10 mm against Salmonella typhimurium and Enterobacter cloacae, resp., after 24 h incubation. A tablet containing III 50 and excipients (lactose, starch, talc, Mg stearate) 250 mg was formulated.

L15 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:407780 HCAPLUS
DOCUMENT NUMBER: 111:7780
TITLE: Preparation of (glutamylamino)alkanedioates as autoimmune medicine and pharmaceutical compositions containing them
INVENTOR(S): Agouridas, Constantin; **Fauveau, Patrick**; Damais, Chantal
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Fr. Demande, 20 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2611721	A1	19880909	FR 1987-2547	19870226
FR 2611721	B1	19900126		
CA 1310443	A1	19921117	CA 1988-559820	19880225
EP 284461	A1	19880928	EP 1988-400446	19880226
EP 284461	B1	19910612		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 63233961	A2	19880929	JP 1988-42392	19880226
JP 06017350	B4	19940309		
AT 64374	E	19910615	AT 1988-400446	19880226
US 5089476	A	19920218	US 1988-161163	19880226
ES 2029040	T3	19920716	ES 1988-400446	19880226
FR 2635779	A2	19900302	FR 1988-11155	19880824
US 5108990	A	19920428	US 1989-396631	19890821

PRIORITY APPLN. INFO.:	FR 1987-2547	A	19870226
	EP 1988-400446	A	19880226
	US 1988-161163	A2	19880226
	FR 1988-11155	A	19880824

OTHER SOURCE(S): CASREACT 111:7780; MARPAT 111:7780
AB ZCY(COR3)NHCOCH2CH2CH(NHR1)CO2R5 [I; R1 = H, amino acid residue, di-, tri-, or tetrapeptide residue; R3 = OH, alkoxy, (substituted) amino acid residue; R5 = H, alkyl; Z = R2NHCX(COR4)U; R2 = H, amino acid reside, di-, tri-, or tetrapeptide residue; U = CH2C(:CH2)CH2, (E)- or (Z)-CH:CHCH2, (E)- or (Z)-CH2CH:CH, CH2CHMeCH2, etc.; X = H; or UX = (E)- or (Z)-CHCH2CH2, etc.; R4 = OH, alkoxy, (substituted) amino acid residue; Y = H, or YU = bond], useful as medicine for treatment of autoimmune disorders (no data), are prepared MeO2CCH(NHCOCF3)CH2CH2CO2H was condensed with EtO2CCH(NH2)CH2C(:CH2)CH2C(NHCHO)(CO2Et)2 to give MeO2CCH(NHCOCF3)CH2CH2CONHCH(CO2Et)CH2C(:CH2)CH2C(NHCHO)(CO2Et)2 which was hydrolyzed to give HO2CCH(NH2)CH2CH2CONHCH(CO2H)CH2C(:CH2)CH2C(NH2)CO2H.

L15 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1987:84619 HCAPLUS
DOCUMENT NUMBER: 106:84619
TITLE: Pyrazolo[4,3-g][1,4]benzoxazines as dopaminergic agonists
INVENTOR(S): Nedelec, Lucien; **Fauveau, Patrick**; Hamon, Gilles; Oberlander, Claude
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.

SOURCE: Fr. Demande, 22 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2578254	A1	19860905	FR 1985-3036	19850301
FR 2578254	B1	19870306		
US 4661482	A	19870428	US 1986-833347	19860225
JP 61205281	A2	19860911	JP 1986-42074	19860228
EP 197807	A1	19861015	EP 1986-400427	19860228
EP 197807	B1	19900418		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
HU 40802	A2	19870227	HU 1986-854	19860228
HU 194246	B	19880128		
CA 1266648	A1	19900313	CA 1986-502944	19860228
AT 52093	E	19900515	AT 1986-400427	19860228

PRIORITY APPLN. INFO.: FR 1985-3036 A 19850301
EP 1986-400427 A 19860228

OTHER SOURCE(S): CASREACT 106:84619
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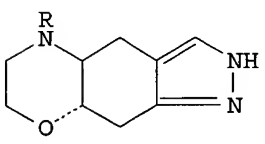

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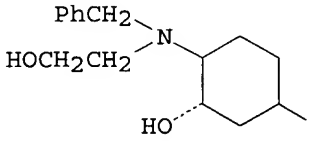

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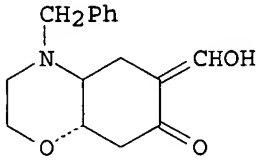

III

AB The title compds. [I; R = C1-5 alkyl, C4-7 cycloalkylalkyl, alkenyl, alkynyl, (un)substituted C7-12 aralkyl] were prepared as dopaminergic neurotransmitter agonists. Thus, 3-cyclohexen-1-ol was silylated with Me3CSiMe2Cl, epoxidized, and converted in 2 steps to aminosiloxycyclohexanol (±)-II. The latter was cyclized, desilylated, oxidized, and condensed with HCO2Et to give (hydroxymethylene)benzoxazinone (±)-III. This was cyclocondensed with N2H4 to give (±)-I (R = PhCH2) which was debenzylated and alkylated with PrI to give (±)-I (R = Pr) (IV). IV demonstrated dopaminergic agonist activity in rats at 0.05-0.1 mg/kg, and at 0.1 mg kg reduced blood pressure 26% after 5 min.

L15 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1984:630827 HCAPLUS
DOCUMENT NUMBER: 101:230827
TITLE: C-Homo-9-oxaergoline derivatives and their salts and intermediates
INVENTOR(S): Nedelec, Lucien; Gasc, Jean Claude; **Fauveau,**

Patrick
PATENT ASSIGNEE(S): Roussel-UCLAF , Fr.
SOURCE: Fr. Demande, 24 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2533215	A1	19840323	FR 1982-15773	19820920
FR 2533215	B1	19850222		
ZA 8306539	A	19841031	ZA 1983-6539	19830902
EP 105776	A1	19840418	EP 1983-401804	19830915
EP 105776	B1	19860226		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4503053	A	19850305	US 1983-532740	19830915
AT 18227	E	19860315	AT 1983-401804	19830915
JP 59073589	A2	19840425	JP 1983-171393	19830919
JP 05021910	B4	19930325		
ES 525712	A1	19840616	ES 1983-525712	19830919
HU 32117	O	19840628	HU 1983-3236	19830919
HU 191097	B	19870128		
CA 1208219	A1	19860722	CA 1983-436999	19830919

PRIORITY APPLN. INFO.: FR 1982-15773 A 19820920
EP 1983-401804 A 19830915

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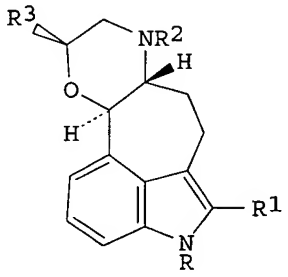

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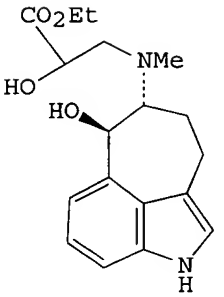

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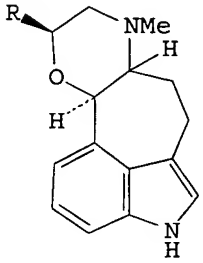

III

AB The antihypertensive title compds. I (R = H, C1-4 alkyl; R1 = H, Br, Cl; R2 = H, C1-4 alkyl, C7-12 aralkyl, C4-7 cycloalkylalkyl; R3 = CH2OH, CO2H, carboxylate esters, carboxamide, CH2SMe, CH2CN) were prepared Thus, the

cycloheptaindolepropionate II, prepared from the corresponding methylamine derivative and Et glycidate, was cyclized to give mainly the oxaergoline III (R = CO2Et), which was reduced to III (R = CH2OH). At 10 mg/kg III (R = CH2OH) reduced blood pressure in rats by 44%.

L15 ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1984:175119 HCAPLUS
DOCUMENT NUMBER: 100:175119
TITLE: 9-Oxalysergic acid derivatives
INVENTOR(S): Nedelec, Lucien; Pierdet, Andre; **Fauveau, Patrick**
PATENT ASSIGNEE(S): Roussel-UCLAF , Fr.
SOURCE: Eur. Pat. Appl., 44 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 94305	A1	19831116	EP 1983-400908	19830505
EP 94305	B1	19880113		
R: AT, BE, CH, DE, GB, IT, LI, LU, NL, SE				
FR 2526797	A1	19831118	FR 1982-8249	19820512
FR 2526797	B1	19841228		
AT 31929	E	19880115	AT 1983-400908	19830505
US 4493836	A	19850115	US 1983-493355	19830510
CA 1209573	A1	19860812	CA 1983-427905	19830511
JP 59025395	A2	19840209	JP 1983-81858	19830512
JP 05013955	B4	19930223		

PRIORITY APPLN. INFO.: FR 1982-8249 A 19820512
EP 1983-400908 A 19830505
OTHER SOURCE(S): CASREACT 100:175119
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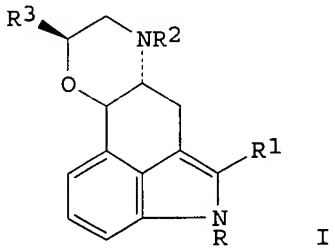

I

AB Title compds. I (R = H, alkyl, R1 = H, Cl, Br, R2 = H, alkyl, aralkyl, cycloalkylalkyl; R3 = HOCH2, alkylthiomethyl, CH2CN, CO2H, alkoxycarbonyl, amino) were prepared as vasodilators, antihypertensives, dopaminergic agonists, and prolactin secretion inhibitors. Thus, Me (6a-RS)-(6aα,9β,10aβ)-4,5,5a,6,6a,8,9,10a-octahydro-7-methyl-4-benzyl-7H-indolo[3,4-g,h](1,4)benzoxazine-9β-carboxylate (II) was debenzylated by hydrogenolysis followed by MnO2 oxidation to give Me (6a-RS)-(6aα,9β,10aβ)-4,6,6a,8,9,10a-hexahydro-7-methyl-7H-indolo[3,4-g,h](1,4)-benzoxazine-9-carboxylate (III). II was prepared in 5 steps from (4-RS)-trans-4-amino-1-benzoyl-1,2,2a,3,4,5-hexahydrobenz[c,d]indol-5-ol. At 1 mg/kg III reduced the blood pressure

of rats.

L15 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1983:160535 HCAPLUS
DOCUMENT NUMBER: 98:160535
TITLE: Synthesis and central dopaminergic activities of (±)-hexahydro-4H-indolo[3,4-gh][1,4]benzoxazine derivatives [(±)-9-oxaergolines]
AUTHOR(S): Nedelec, Lucien; Pierdet, Andre; **Fauveau, Patrick**; Euvrard, Catherine; Dumont, Claude; Boissier, Jacques R.; Labrie, Fernand; Proulx-Ferland, Louise
CORPORATE SOURCE: Cent. Rech., Roussel-UCLAF, Romainville, 93230, Fr.
SOURCE: Journal of Medicinal Chemistry (1983), 26(4), 522-7
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
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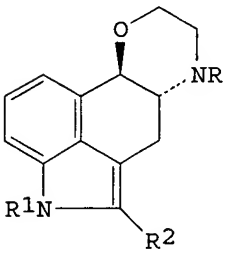

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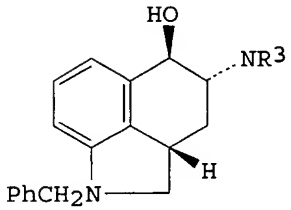

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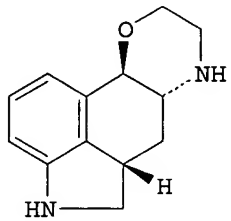

III

AB The synthesis and biol. activities of a series of the title compds. I (R = H, Me, Pr, R1 = R2 = H; R = R1 = Me, R2 = H; R = Me, Pr, R1 = H, R2 = Br) with central dopamine (DA) agonist properties are described.. The compds. were prepared from the benz[c,d]indol-5-ol II (R3 = H) via alkaline cyclization of I (R = ClCH2CO), followed by reduction with LiAlH4 and debenzylation to give the indolobenzoxazine III. III was dehydrogenated with MnO2 to give I (R = R1 = R2 = H), which can be alkylated on the nitrogen and brominated in position 2. I were examined in vitro for their ability to bind to DA receptors and to inhibit prolactin (PRL) secretion in pituitary cells in culture, in vivo both for their DA stimulant effects at the striatal level circling in 6-OHDA-lesioned animals, DA turnover, and stereotypy) and inhibitory effects on plasma PRL levels in rats, and for their emetic effects in dogs. Most of the tested compds. were active in these tests, and the potency of I (R = Pr, R1 = R2 = H) was comparable to that of pergolide mesylate.

=> => d stat que l18 nos
L3 STR
L5 11 SEA FILE=REGISTRY SSS FUL L3
L8 STR
L9 7 SEA FILE=REGISTRY SUB=L5 SSS FUL L8
L10 4 SEA FILE=HCAPLUS ABB=ON PLU=ON L9
L11 4 SEA FILE=REGISTRY ABB=ON PLU=ON L5 NOT L9
L12 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L11
L13 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L12 NOT L10
L14 36 SEA FILE=HCAPLUS ABB=ON PLU=ON "COURTIN OLIVIER"/AU NOT (L10 OR L13)

L15 14 SEA FILE=HCAPLUS ABB=ON PLU=ON "FAUVEAU PATRICK"/AU NOT (L10 OR L13 OR L14)
L18 11 SEA FILE=HCAPLUS ABB=ON PLU=ON (("SCHIO L"/AU OR "SCHIO LAURENT"/AU)) NOT (L10 OR L13 OR L14 OR L15)

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L18 ANSWER 1 OF 11 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:402346 HCAPLUS
DOCUMENT NUMBER: 135:195714
TITLE: Fine Tuning of physico-chemical parameters to optimize a new series of novobiocin analogs
AUTHOR(S): **Schio, L.**; Chatreaux, F.; Loyau, V.; Murer, M.; Ferreira, A.; Mauvais, P.; Bonnefoy, A.; Klich, M.
CORPORATE SOURCE: Medicinal Chemistry, Aventis Pharma, Romainville, F-93235, Fr.
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(11), 1461-1464
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:195714
AB A novel series of novobiocin analogs has been synthesized by removing the lipophilic aryl chain in novobiocin and introducing an amino substituent. The structural modifications have been dictated by the control of lipophilicity and the dissociation constant of the resulting compds. Antibacterial activity of the new coumarin derivs. could be correlated with the amount of uncharged form in physiol. conditions.
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 2 OF 11 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:177113 HCAPLUS
DOCUMENT NUMBER: 132:307860
TITLE: Tosylates in palladium-catalyzed coupling reactions. Application to the synthesis of arylcoumarin inhibitors of gyrase B
AUTHOR(S): **Schio, Laurent**; Chatreaux, Fabienne; Klich, Michel
CORPORATE SOURCE: Medicinal Chemistry, Hoechst Marion Roussel, Romainville, 93235, Fr.
SOURCE: Tetrahedron Letters (2000), 41(10), 1543-1547
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:307860
AB The palladium-catalyzed coupling reaction between tosylate derivs. and organostannanes has been investigated as a methodol. for carbon-carbon bond formation. Aryl substituents have been successfully incorporated even in highly functionalized coumarin structures to afford new analogs of the antibiotic novobiocin.
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 3 OF 11 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:662329 HCAPLUS
DOCUMENT NUMBER: 132:12455

TITLE: Structure-activity relationship in two series of aminoalkyl substituted coumarin inhibitors of gyrase B
AUTHOR(S): Laurin, Patrick; Ferroud, Didier; **Schio, Laurent**; Klich, Michael; Dupuis-Hamelin, Claudine; Mauvais, Pascale; Lassaigne, Patrice; Bonnefoy, Alain; Musicki, Branislav
CORPORATE SOURCE: Medicinal Chemistry, Hoechst Marion Roussel, Romainville, 93235, Fr.
SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(19), 2875-2880
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Two series of amino-substituted coumarins were synthesized and evaluated in vitro as inhibitors of DNA gyrase and as potential antibacterials. Novel novobiocin-like coumarins, 4-(dialkylamino)-methylcoumarins and 4-((2-alkylamino)ethoxy)coumarins, were discovered as gyrase B inhibitors with promising antibacterial activity in vitro.
REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 4 OF 11 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:646282 HCAPLUS
DOCUMENT NUMBER: 131:299355
TITLE: A facile route to aryl amines. Nucleophilic substitution of aryl triflates
AUTHOR(S): **Schio, Laurent**; Lemoine, Guy; Klich, Michel
CORPORATE SOURCE: Hoechst Marion Roussel, Romainville, F-93235, Fr.
SOURCE: Synlett (1999), (10), 1559-1562
CODEN: SYNLES; ISSN: 0936-5214
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:299355
AB The aromatic nucleophilic substitution (SNAr) between aryl triflates and secondary amines was studied. In the absence of solvent, the reaction proceeds at room temperature for nitro- and cyano-activated aryl triflates and requires higher temps. in the case of carboxy activation. Variable triflate reactivity could be explained in terms of frontier MO theory. This methodol. was applied for the synthesis of piperidylpyridines.

L18 ANSWER 5 OF 11 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:96038 HCAPLUS
DOCUMENT NUMBER: 130:125344
TITLE: Preparation of 6-O-substituted ketolide glycosides as antibacterial agents
INVENTOR(S): Chartreaux, Fabienne; Klich, Michel; **Schio, Laurent**
PATENT ASSIGNEE(S): Hoechst Marion Roussel, Fr.; Aventis Pharma S.A.
SOURCE: Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 894805	A1	19990203	EP 1998-401840	19980721

EP 894805	B1	20050209			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
FR 2766488	A1	19990129	FR 1997-9352		19970723
FR 2766488	B1	20000218			
AT 288921	E	20050215	AT 1998-401840		19980721
PT 894805	T	20050630	PT 1998-401840		19980721
ES 2237828	T3	20050801	ES 1998-401840		19980721
US 5968939	A	19991019	US 1998-120642		19980722
JP 11092493	A2	19990406	JP 1998-207950		19980723
PRIORITY APPLN. INFO.:			FR 1997-9352	A	19970723

OTHER SOURCE(S): MARPAT 130:125344

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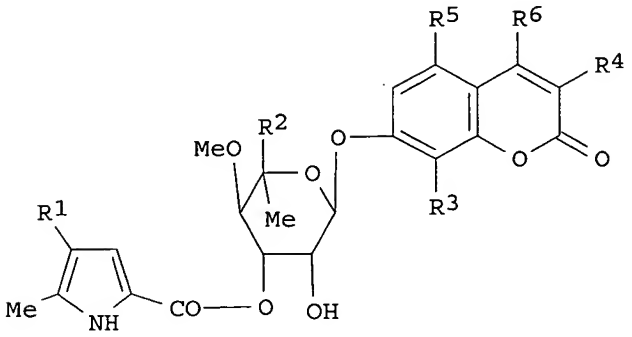


I

AB Title antimicrobial compds. I (R1 = H, halogen; R2 = H, alc.; R3 = alc. , halogen; R4 = H, halogen, alc., alkenyl, alkynyl; R5 = H, OH, O-alkyl; R6 = amine) were prepared as bactericides and gyrase B inhibitors. Thus, 4-(dimethylamino)-8-methyl-2-oxo-2H-1-benzopyran-7-yl 6-deoxy-5-C-methyl-4-O-methyl-3-O-(5-methyl-1H-pyrrol-2-yl)carbonyl-α-L-lyxo-hexopyranoside was prepared and tested for its antibacterial activity 0.04 < MCI < 5.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 6 OF 11 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	1998:231489 HCAPLUS
DOCUMENT NUMBER:	129:27833
TITLE:	The isoprostanes, a new class of natural products. Synthesis and biosynthesis
AUTHOR(S):	Rokach, Joshua; Khanapure, Subhash P.; Hwang, Seong Woo; Adiyaman, Mustafa; **Schio, Laurent**; FitzGerald, Garret A.
CORPORATE SOURCE:	Department Chemistry, Florida Institute Technology, Melbourne, FL, 32901, USA
SOURCE:	Synthesis (1998), (Spec.), 569-580 CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER:	Georg Thieme Verlag
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 129:27833

AB A general synthetic methodol. for the syntheses of isoprostanes via 4 key lactones constructed from D- and L-glucose by thionocarbonate-mediated radical cyclization is reported. These lactones possess the required stereochem. and the right functional groups for the syntheses of

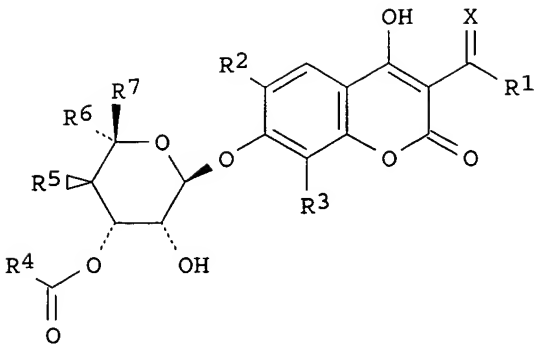
isoprostanes. Isoprostanes are formed in humans as a result of non-enzymic free-radical-catalyzed lipid peroxidn. Isoprostanes possess biol. activity and can be used as an index of free-radical lipid peroxidn. and as a marker of oxidative stress.

L18 ANSWER 7 OF 11 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:13971 HCAPLUS
DOCUMENT NUMBER: 128:75634
TITLE: Preparation of aromatic benzopyranone glycosides as bactericides
INVENTOR(S): Klich, Michel; Laurin, Patrick; Musicki, Branislav; **Schio, Laurent**
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.; Klich, Michel; Laurin, Patrick; Musicki, Branislav; Schio, Laurent
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9747634	A1	19971218	WO 1997-FR1022	19970610
W: AU, BR, CA, CN, CZ, HU, IL, JP, KR, MX, NO, PL, RU, TR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2749585	A1	19971212	FR 1996-7207	19960611
FR 2749585	B1	19980814		
ZA 9704840	A	19981120	ZA 1997-4840	19970602
CA 2258152	AA	19971218	CA 1997-2258152	19970610
AU 9732659	A1	19980107	AU 1997-32659	19970610
EP 906326	A1	19990407	EP 1997-928316	19970610
EP 906326	B1	20011121		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1227564	A	19990901	CN 1997-197225	19970610
BR 9709676	A	20000509	BR 1997-9676	19970610
JP 2000511920	T2	20000912	JP 1998-501287	19970610
AT 209212	E	20011215	AT 1997-928316	19970610
ES 2165067	T3	20020301	ES 1997-928316	19970610
PT 906326	T	20020531	PT 1997-928316	19970610
RU 2194052	C2	20021210	RU 1999-100377	19970610
PL 187204	B1	20040630	PL 1997-330550	19970610
TW 561159	B	20031111	TW 1997-86108347	19970616
NO 9805790	A	19990210	NO 1998-5790	19981210
NO 313638	B1	20021104		
KR 2000016539	A	20000325	KR 1998-710127	19981210
US 6350733	B1	20020226	US 1998-202218	19981221
US 2002010322	A1	20020124	US 2001-875860	20010607
US 6812331	B2	20041102		

PRIORITY APPLN. INFO.: FR 1996-7207 A 19960611
WO 1997-FR1022 W 19970610
US 1998-202218 A3 19981221

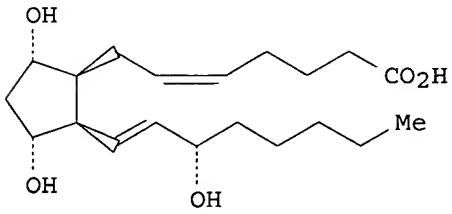
OTHER SOURCE(S): MARPAT 128:75634
GI



I

AB Aromatic benzopyranone glycosides I (R1 = H, OH, alkyl, alkenyl, alkynyl optionally substituted, alkoxy; R2 = H, Hal; R3 = H, alkyl, halogen, R4 = Rg, Rh = H, alkyl, aryl heterocycle; R5 = H, O-alkyl; R6 = alkyl, CH2-O-alkyl; R7 = H, alkyl) were prepared as bactericides. Thus, 7-((6-deoxy-5-C-methyl-4-O-methyl-α-L-lyxo-hexopyranosyl)oxy)-3-(ethoxyacetyl)-4-hydroxy-8-methyl-2H-1-benzopyran-2-one-5-methyl-1H-pyrrole-carboxylic-3'-ester acid was prepared as bactericide (0.04 < CMI < 20 μg/cm3).

L18 ANSWER 8 OF 11 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:178219 HCAPLUS
DOCUMENT NUMBER: 122:31155
TITLE: Total Synthesis of 8-epi-PGF2α. A Novel Strategy for the Synthesis of Isoprostanes
AUTHOR(S): Hwang, Seong Woo; Adiyaman, Mustafa; Khanapure, Subhash; **Schio, Laurent**; Rokach, Joshua
CORPORATE SOURCE: Claude Pepper Institute, Florida Institute of Technology, Melbourne, FL, 32901, USA
SOURCE: Journal of the American Chemical Society (1994), 116(23), 10829-30
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:31155
GI



I

AB Recently a new biochem. pathway of arachidonic acid metabolism has been uncovered. What is unusual about this pathway is that it is non-enzymically mediated and initiated by free radicals. In addition, 8-epi-prostaglandin F2α (8-epi-PGF2α) (I) has been shown to be a product of such biotransformation and to be the most potent renal vasoconstrictor known, ten times more potent than LTC4. It is an

important causative factor in renal diseases such as hepatorenal syndrome. The thromboxane receptor appears responsible for this pharmacol. action. As the first step in the involvement in this program, the authors needed a general method of synthesis of isoprostanes and, in particular, 8-epi-PGF2α. They report here on the total synthesis of this natural mediator.

L18 ANSWER 9 OF 11 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:30753 HCAPLUS
DOCUMENT NUMBER: 122:31182
TITLE: Stereoselective synthesis of the C15-C24 fragment of macrolactin A
AUTHOR(S): Benvegnu, T.; **Schio, L.**; Le Floc'h, Y.; Gree, R.
CORPORATE SOURCE: Lab. Syntheses Activations Biomol., CNRS, Rennes-Beaulieu, 35700, Fr.
SOURCE: Synlett (1994), (7), 505-6
CODEN: SYNLES; ISSN: 0936-5214
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:31182
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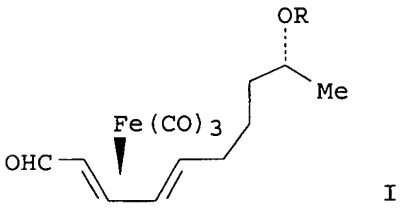

I

AB A stereoselective synthesis of the carbonyl iron complexes I (R = Si(CMe3)Me2, CH2C6H4OMe-4) corresponding to the C(15)-C(24) fragment of Macrolactin A is described.

L18 ANSWER 10 OF 11 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:408914 HCAPLUS
DOCUMENT NUMBER: 121:8914
TITLE: A free radical route to syn lactones and other prostanoid intermediates in isoprostaglandin synthesis
AUTHOR(S): Rondot, Benoit; Durand, Thierry; Girard, Jean Pierre; Rossi, Jean Claude; **Schio, Laurent**; Khanapure, Subhash P.; Rokach, Joshua
CORPORATE SOURCE: Fac. Pharm., Univ. Montpellier I, Montpellier, 34060, Fr.
SOURCE: Tetrahedron Letters (1993), 34(51), 8245-8
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 121:8914
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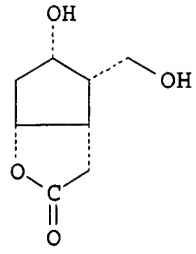

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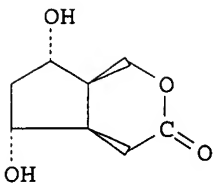

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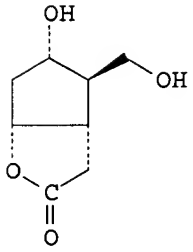

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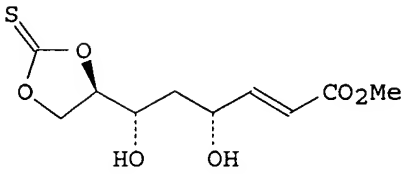
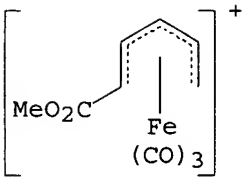


IV

AB The hex-5-enyl radical cyclization methodol. was applied to the formation of optically active prostanoid intermediates I-III with octenoate IV, readily available from diacetone-D-glucose as starting material. These products should lead to isoprostaglandins.

L18 ANSWER 11 OF 11 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1990:158511 HCAPLUS
DOCUMENT NUMBER: 112:158511
TITLE: New synthesis and reactions of a functionalized (η4-butadienyl)tricarbonyliron complexed phosphonate
AUTHOR(S): Pinsard, Patrice; Lellouche, Jean Paul; Beaucourt, Jean Pierre; Toupet, Loic; **Schio, Laurent**; Gree, Rene
CORPORATE SOURCE: Serv. Mol. Marquees, CEN-Saclay, Gif-sur-Yvette, 91191, Fr.
SOURCE: Journal of Organometallic Chemistry (1989), 371(2), 219-31
CODEN: JORCAI; ISSN: 0022-328X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:158511
GI



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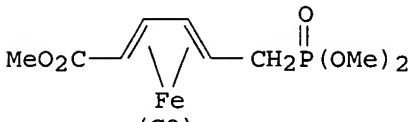

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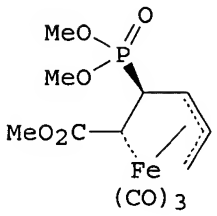

III

AB Reaction of (η5-pentadienyl)tricarbonyliron cation I with P(OMe)3 gives trans-trans- and trans-cis-(η4-butadienyl)tricarbonyliron phosphonates II and σ-π allyl derivative III; the unusual regioselectivity of this nucleophilic addition is attributed to the presence of the ester group in I. A new and efficient synthesis of II has been devised based upon the in situ trapping of a transient (η5-pentadienyl) complexed cation by P(OMe)3. The reactions of II with two aldehydes have been studied. Low temperature bond-shift isomerizations of the initially-produced trienes complexed by Fe(CO)3 are observed in several cases. The x-ray crystal structure of III was determined

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=> => d stat que l25 nos
L3              STR
L5           11 SEA FILE=REGISTRY SSS FUL L3
L8              STR
L9            7 SEA FILE=REGISTRY SUB=L5 SSS FUL L8
L10           4 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9
L11           4 SEA FILE=REGISTRY ABB=ON  PLU=ON  L5 NOT L9
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L14          36 SEA FILE=HCAPLUS ABB=ON  PLU=ON  "COURTIN OLIVIER"/AU NOT (L10
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L15          14 SEA FILE=HCAPLUS ABB=ON  PLU=ON  "FAUVEAU PATRICK"/AU NOT (L10
                OR L13 OR L14)
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L18          11 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (("SCHIO L"/AU OR "SCHIO
                LAURENT"/AU)) NOT (L10 OR L13 OR L14 OR L15)
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L21         702 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L20 OR ?ECHINOCAN?
L22           1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L21 AND (L16 OR L17)) NOT
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L24 31 SEA FILE=HCAPLUS ABB=ON PLU=ON (HOECHST?/CS,PA AND (L16 OR L17)) NOT (L10 OR L13 OR L14 OR L15 OR L18)
L25 33 SEA FILE=HCAPLUS ABB=ON PLU=ON L22 OR L23 OR L24

=> d ibib abs l25 1-33

L25 ANSWER 1 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:449644 HCAPLUS
DOCUMENT NUMBER: 137:28271
TITLE: Coniosetin and derivatives thereof, production method, and therapeutic use
INVENTOR(S): Vertesy, Laszlo; Knauf, Martin; **Markus, Astrid**; Toti, Luigi; Raynal-Wetzel, Mark-Cecile; Fassy, Florence
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046152	A2	20020613	WO 2001-EP14013	20011130
WO 2002046152	A3	20021121		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10060810	A1	20020620	DE 2000-10060810	20001207
CA 2430827	AA	20020613	CA 2001-2430827	20011130
AU 2002027966	A5	20020618	AU 2002-27966	20011130
EP 1341758	A2	20030910	EP 2001-989546	20011130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004515490	T2	20040527	JP 2002-547891	20011130
US 2002137788	A1	20020926	US 2001-3413	20011206
US 6599930	B2	20030729		
PRIORITY APPLN. INFO.:			DE 2000-10060810 A	20001207
			WO 2001-EP14013 W	20011130

OTHER SOURCE(S): MARPAT 137:28271
AB The invention discloses coniosetin and derivs. thereof which are produced by the microorganism Coniochaeta ellipsoidea Udagawa (DSM 13856) during fermentation The invention also discloses chemical derivs. of coniosetin, a method for producing them, and their use as medicaments for the treatment of infections.

L25 ANSWER 2 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:799313 HCAPLUS
DOCUMENT NUMBER: 136:82383
TITLE: Memnopeptide A, a novel terpene peptide from Memnoniella with an activating effect on SERCA2

AUTHOR(S): Vertesy, Laszlo; Kogler, Herbert; **Markus, Astrid**; Schiell, Matthias; Vogel, Martin; Wink, Joachim
CORPORATE SOURCE: Aventis Pharma Deutschland GmbH, Frankfurt/M, D-65926, Germany
SOURCE: Journal of Antibiotics (2001), 54(10), 771-782
CODEN: JANTAJ; ISSN: 0021-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The terpene peptide memnopeptide A (I), C76H108N16O18S, MW 1564, was isolated from a culture of the **fungus** Memnoniella echinata FH 2272 on casein peptone. The structure of the novel compound was elucidated with the aid of 2D NMR expts. and from amino acid anal. and mass spectrometric sequencing of the peptide. The compound consists of a known phenylspirodrimane subunit linked to the decapeptide Met-His-Gln-Pro-His-Gln-Pro-Leu-Pro-Pro. This proline-rich peptide is a subsequence of β-casein. From the observed absence in the literature of any other highly significant sequence homologues, I can be assumed to arise from metabolic products of the **fungus** with direct incorporation of constituents of the nutrient medium. The formation of I suggests this may be a mechanism for storage of amines by the **fungus.** I has weak antibacterial activity against Gram-pos. bacteria and effects half-maximal activation of sarco(endo)plasmic reticulum Ca2+ ATPase (SERCA2) at a concentration of 12.5 μM.

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 3 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:11181 HCAPLUS
DOCUMENT NUMBER: 135:103196
TITLE: Analysis of genes involved in 6-deoxyhexose biosynthesis and transfer in Saccharopolyspora erythraea
AUTHOR(S): Doumith, M.; Weingarten, P.; Wehmeier, U. F.; Salah-Bey, K.; Benhamou, B.; Capdevila, C.; **Michel, J.-M.**; Piepersberg, W.; Raynal, M.-C.
CORPORATE SOURCE: Infectious Disease Group, Aventis Pharma, **Hoechst** Marion Roussel, Romainville, 93235, Fr.
SOURCE: Molecular and General Genetics (2000), 264(4), 477-485
CODEN: MGGEAE; ISSN: 0026-8925
PUBLISHER: Springer-Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Glycosylation represents an attractive target for protein engineering of novel antibiotics, because specific attachment of one or more deoxysugars is required for the bioactivity of many antibiotic and antitumor polyketides. However, proper assessment of the potential of these enzymes for such combinatorial biosynthesis requires both more precise information on the enzymol. of the pathways and also improved Escherichia coli-actinomycete shuttle vectors. New replicative vectors have been constructed and used to express independently the dnmU gene of Streptomyces peucetius and the eryBVII gene of Saccharopolyspora erythraea in an eryBVII deletion mutant of Sac. erythraea. Production of erythromycin A was obtained in both cases, showing that both proteins serve analogous functions in the biosynthetic pathways to dTDP-L-daunosamine and dTDP-L-mycarose, resp. Over-expression of both proteins was also obtained in S. lividans, paving the way for protein purification and in vitro monitoring of enzyme activity. In a further set of expts., the putative

desosaminyltransferase of Sac. erythraea, EryCIII, was expressed in the picromycin producer Streptomyces sp. 20032, which also synthesizes dTDP-D-desosamine. The substrate 3-α-mycarosylerythronolide B used for hybrid biosynthesis was found to be glycosylated to produce erythromycin D only when recombinant EryCIII was present, directly confirming the enzymic role of EryCIII. This convenient plasmid expression system can be readily adapted to study the directed evolution of recombinant glycosyltransferases.

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 4 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:546084 HCAPLUS
DOCUMENT NUMBER: 133:147455
TITLE: Genes for enzymes of biosynthesis and transfer of 6-deoxy hexoses of Saccharopolyspora and Streptomyces and the development of novel macrolide antibiotics
INVENTOR(S): Fromentin, Claude; **Michel, Jean Marc**; Raynal, Marie Cecile; Salah, Bey Khadidja; Cortes, Jesus; Gaisser, Sabine; Leadlay, Peter; Mendez, Carmen; Salas, Jose A.
PATENT ASSIGNEE(S): **Hoechst Marion Roussel, Fr.**
SOURCE: Fr. Demande, 211 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2786201	A1	20000526	FR 1999-3715	19990325
FR 2786201	B1	20030117		
PRIORITY APPLN. INFO.:			FR 1999-3715	19990325

AB Gene clusters associated with the biosynthesis and utilization of 6-deoxy hexoses in the biosynthesis of erythromycin are cloned and characterized for use in the manufacture of erythromycin and in the development of novel antibiotics. Sequences surrounding the ermE gene of S. erythraea were cloned and potential open reading frames identified using sequence homol. Inactivation of one of these genes (eryBII) by deletion resulted in the loss of the ability to synthesize erythromycin. The mutant accumulated erythronolide B and a number of minor metabolites and determination of their structures indicated that the gene encodes thymidine diphospho-4-keto-L-6-deoxyhexose 2,3-reductase. Similarly, the eryCIII gene was identified as encoding a desosaminyltransferase and eryCII encodes an isomerase.

L25 ANSWER 5 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:546082 HCAPLUS
DOCUMENT NUMBER: 133:161736
TITLE: Genes for enzymes of biosynthesis and transfer of 6-deoxy hexoses of Saccharopolyspora erythraea and Streptomyces antibioticus and their use in the development of novel macrolide antibiotics
INVENTOR(S): Fromentin, Claude; **Michel, Jean Marc**; Raynal, Marie Cecile; Salah, Bey Khadidja; Cortes, Jesus; Gaisser, Sabine; Leadlay, Peter; Mendez, Carmen; Salas, Jose A.
PATENT ASSIGNEE(S): **Hoechst Marion Roussel, Fr.**
SOURCE: Fr. Demande, 210 pp.
CODEN: FRXXBL

DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2786189	A1	20000526	FR 1999-3716	19990325
FR 2786189	B1	20030117		
PRIORITY APPLN. INFO.:			FR 1999-3716	19990325

AB Gene clusters associated with the biosynthesis and utilization of 6-deoxy hexoses in the biosynthesis of erythromycin are cloned and characterized for use in the manufacture of erythromycin and in the development of novel antibiotics. Sequences surrounding the ermE gene of S. erythraea were cloned and potential open reading frames identified using sequence homol. Inactivation of one of these genes (eryBII) by deletion resulted in the loss of the ability to synthesize erythromycin. The mutant accumulated erythronolide B and a number of minor metabolites and determination of their structures indicated that the gene encodes thymidine diphospho-4-keto-L-6-deoxyhexose 2,3-reductase. Similarly, the eryCIII gene was identified as encoding a desosaminyltransferase and eryCII encodes an isomerase.

L25 ANSWER 6 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:610286 HCAPLUS
DOCUMENT NUMBER: 131:334368
TITLE: Hydroxy-pyridones outstanding biological properties
AUTHOR(S): **Markus, A.**
CORPORATE SOURCE: Central Pharmaceutical Research, **Hoechst** Marion Roussel Deutschland GmbH, Frankfurt, D-65926, Germany
SOURCE: Hydroxy-Pyridones as Antifungal Agents with Special Emphasis on Onychomycosis (1999), 1-10. Editor(s): Shuster, Sam. Springer: Berlin, Germany. CODEN: 68EOAO
DOCUMENT TYPE: Conference; General Review
LANGUAGE: English
AB A review with no refs. on the antimicrobial activity of ciclopirox, a hydroxy-pyridone derivative

L25 ANSWER 7 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:574603 HCAPLUS
DOCUMENT NUMBER: 131:319979
TITLE: Ala(O)-actagardine, a new lantibiotic from cultures of Actinoplanes liguriae ATCC 31048
AUTHOR(S): Vertesy, Laszlo; Aretz, Werner; Bonnefoy, Alain; Ehlers, Eberhard; Kurz, Michael; **Markus, Astrid**; Schiell, Matthias; Vogel, Martin; Wink, Joachim; Kogler, Herbert
CORPORATE SOURCE: **Hoechst Marion Roussel Deutschland GmbH, Frankfurt, D-65926, Germany**
SOURCE: Journal of Antibiotics (1999), 52(8), 730-741 CODEN: JANTAJ; ISSN: 0021-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The actagardine-producing strain Actinoplanes liguriae ATCC 31048, forms an addnl. lantibiotic when it is cultured on mannitol and soya meal. The new compound, Ala(O)-actagardine (I), has been isolated by solid-phase extraction
followed by a two-step chromatog. separation Its chemical structure was determined by

2D-NMR anal. and was further confirmed by an amino acid anal., Edman degradation, and partial synthesis from actagardine. I exhibits a slightly higher biol. activity than the parent compound actagardine. The synthetic analogs Lys(O)-actagardine and Ile(O)-actagardine demonstrate also antibacterial activities and emphasize the importance of the N-terminus for further derivatization.

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 8 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:283740 HCAPLUS
DOCUMENT NUMBER: 131:70966
TITLE: Feglymycin, a novel inhibitor of the replication of the human immunodeficiency virus fermentation, isolation and structure elucidation
AUTHOR(S): Vertesy, Laszlo; Aretz, Werner; Knauf, Martin; **Markus, Astrid**; Vogel, Martin; Wink, Joachim
CORPORATE SOURCE: **Hoechst Marion Roussel Deutschland GmbH, Drug Innovation and Approval, Frankfurt, D-65926, Germany**
SOURCE: Journal of Antibiotics (1999), 52(4), 374-382
CODEN: JANTAJ; ISSN: 0021-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The novel peptide feglymycin has been isolated from cultures of Streptomyces sp. DSM 11171 by solid phase extraction, size exclusion chromatog. and repeated reversed-phase chromatog. The mol. weight was found to be 1900.90 g/mol and the mol. formula is C95H97N13O30. Feglymycin contains 13 amino acids of which four are 3-hydroxyphenylglycine and five are 3,5-dihydroxyphenylglycine residues. The structure of the linear peptide has been determined by 1H and 13C NMR spectroscopy. The sequence was confirmed by the observed mass spectroscopic fragmentation pattern. As well as having weak antibacterial activity, feglymycin inhibits the replication of the human immunodeficiency virus (HIV) in vitro.
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 9 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:214634 HCAPLUS
DOCUMENT NUMBER: 131:2683
TITLE: Mulundocandin, an **echinocandin**-like lipopeptide **antifungal** agent: biological activities in vitro
AUTHOR(S): Hawser, Stephen; Borgonovi, Monica; **Markus, Astrid**; Isert, Dieter
CORPORATE SOURCE: **Hoechst Marion Roussel, Romainville, F-93235, Fr.**
SOURCE: Journal of Antibiotics (1999), 52(3), 305-310
CODEN: JANTAJ; ISSN: 0021-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Mulundocandin (MCN) is an **antifungal** lipopeptide which belongs to the **echinocandin** class of antimycotic agents. MCN exhibited good in vitro activity against Candida albicans and C. glabrata isolates with MIC ranges of 0.5.apprx.4.0 μg/mL and 2.0.apprx.4.0 μg/mL, resp. MCN also exhibited some activity against C. tropicalis isolates (MIC range 1.0.apprx.8.0 μg/mL). However, MCN was poorly active against other non-albicans isolates and was inactive against Cryptococcus

neoformans, Aspergillus species and Trichophyton. MCN appeared to exert its **antifungal** activity through preferential inhibition of germ tube formation (MIC-HY 0.015.apprx.0.03 μg/mL) and was typically less active on the yeast form (MIC 0.5.apprx.4.0 μg/mL). In kill-curve expts. 99.9% redns. in cell viability were observed following 8 h exposure to MCN at 4 + MIC and 8 + MIC and after 5 h exposure to 16 + MIC.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 10 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:96365 HCAPLUS
DOCUMENT NUMBER: 130:164011
TITLE: Ery and ole antibiotic biosynthesis genes and Saccharopolyspora ery mutants for preparation of novel secondary metabolites and Streptomyces ole mutants for preparation of oleandomycin precursors
INVENTOR(S): Fromentin, Claude; **Michel, Jean-Marc**; Raynal, Marie-Cecile; Salah-Bey, Khadidja; Cortes, Jesus; Gaisser, Sabine; Leadlay, Peter; Mendez, Carmen; Salas, Jose A.
PATENT ASSIGNEE(S): **Hoechst Marion Roussel, Fr.**
SOURCE: PCT Int. Appl., 222 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9905283	A2	19990204	WO 1998-FR1593	19980721
WO 9905283	A3	19990527		
W: BR, CA, JP, MX, TR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2766496	A1	19990129	FR 1997-9458	19970725
FR 2766496	B1	20010914		
FR 2786200	A1	20000526	FR 1998-7411	19980612
EP 1032679	A2	20000906	EP 1998-940290	19980721
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2001511349	T2	20010814	JP 2000-504257	19980721

PRIORITY APPLN. INFO.:
FR 1997-9458 A 19970725
FR 1998-7411 A 19980612
WO 1998-FR1593 W 19980721

AB Disclosed are the eryCII-eryCVI, eryBII, and ery BIV-eryBVII genes of Saccharopolyspora erythraea and the oleP1, oleG1, oleG2, oleM and oleY genes of Streptomyces antibioticus. Addnl., S. erythraea ery deletion mutants and S. antibioticus ole deletion mutants may be used to prepare altered antibiotics or antibiotic precursors. A number of ery and ole deletion mutants were prepared The secondary metabolites produced by these mutant strains were determined

L25 ANSWER 11 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:618678 HCAPLUS
DOCUMENT NUMBER: 129:216854
TITLE: Bismuth salts of moenomycin-like antibiotics, preparation, use and pharmaceuticals containing such salts for treatment of stomach disorders
INVENTOR(S): Vertesy, Laszlo; Kurz, Michael; **Markus, Astrid**

; Seibert, Gerhard
PATENT ASSIGNEE(S): **Hoechst A.-G., Germany**
SOURCE: Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 864579	A2	19980916	EP 1998-103904	19980305
EP 864579	A3	20000621		
EP 864579	B1	20030604		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
DE 19709897	A1	19980917	DE 1997-19709897	19970311
AT 242256	E	20030615	AT 1998-103904	19980305
PT 864579	T	20031031	PT 1998-103904	19980305
ES 2201351	T3	20040316	ES 1998-103904	19980305
CA 2231365	AA	19980911	CA 1998-2231365	19980309
US 6077830	A	20000620	US 1998-36683	19980309
AU 9858344	A1	19980917	AU 1998-58344	19980310
AU 734748	B2	20010621		
CN 1194984	A	19981007	CN 1998-108041	19980310
JP 11092489	A2	19990406	JP 1998-57633	19980310
BR 9800861	A	19991207	BR 1998-861	19980310
RU 2204565	C2	20030520	RU 1998-104701	19980310

PRIORITY APPLN. INFO.: DE 1997-19709897 A 19970311

AB Title compds. are useful in treating stomach disorders caused by Helicobacter pylori. Thus, moenomycin A sodium salt was treated with BiCl3 in MeOH to yield a chloride of the antibiotic-bismuth complex. In in vitro tests against H. pylori, this product was four times more effective than moenomycin A sodium salt.

L25 ANSWER 12 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:297693 HCAPLUS
DOCUMENT NUMBER: 129:63867
TITLE: Targeted gene inactivation for the elucidation of deoxysugar biosynthesis in the erythromycin producer Saccharopolyspora erythraea
AUTHOR(S): Salah-Bey, K.; Doumith, M.; **Michel, J. -M.**; Haydock, S.; Cortes, J.; Leadlay, P. F.; Raynal, M. -C.
CORPORATE SOURCE: Infectious Disease Group, **Hoechst** Marion Roussel, Romainville, 93235, Fr.
SOURCE: Molecular & General Genetics (1998), 257(5), 542-553
CODEN: MGGEAE; ISSN: 0026-8925
PUBLISHER: Springer-Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The production of erythromycin A by Saccharopolyspora erythraea requires the synthesis of dTDP-D-desosamine and dTDP-L-mycarose, which serve as substrates for the transfer of the two sugar residues onto the macrolactone ring. The enzymic activities involved in this process are largely encoded within the ery gene cluster, by two sets of genes flanking the eryA locus that encodes the polyketide synthase. Here the nucleotide sequence of three such ORFs located immediately downstream of eryA, ORFs 7, 8 and 9 is reported. Chromosomal mutants carrying a deletion either in ORF7 or in one of the previously sequenced ORFs 13 and 14 have been

constructed and shown to accumulate erythronolide B, as expected for eryB mutants. Similarly, chromosomal mutants carrying a deletion in either ORF8, ORF9, or one of the previously sequenced ORFs 17 and 18 have been constructed and shown to accumulate 3-α-mycarosyl erythronolide B, as expected for eryC mutants. The ORF13 (eryBIV), ORF17 (eryCIV) and ORF7 (eryBII) mutants also synthesized small amts. of macrolide shunt metabolites, as shown by mass spectrometry. These results considerably strengthen previous tentative proposals for the pathways for the biosynthesis of dTDP-D-desosamine and dTDP-L-mycarose in Sac. erythraea and reveal that at least some of these enzymes can accommodate alternative substrates.

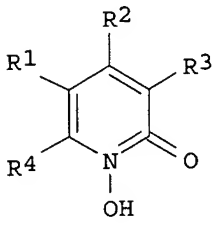
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 13 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:208420 HCAPLUS
DOCUMENT NUMBER: 128:241762
TITLE: Use of 1-hydroxy-2-pyridones for treatment of skin diseases
INVENTOR(S): Bohn, Manfred; Kraemer, Karl Theodor; **Markus, Astrid**
PATENT ASSIGNEE(S): **Hoechst A.-G., Germany**; Bohn, Manfred; Kraemer, Karl Theodor; Markus, Astrid
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9813043	A1	19980402	WO 1997-EP5069	19970916
W: AU, BG, BR, BY, CA, CN, CZ, HU, ID, IL, JP, KR, MX, NO, NZ, PL, RO, RU, SG, SI, TR, UA, US, YU				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
DE 19639817	A1	19980402	DE 1996-19639817	19960927
CA 2267309	AA	19980402	CA 1997-2267309	19970916
AU 9747745	A1	19980417	AU 1997-47745	19970916
AU 717333	B2	20000323		
EP 928193	A1	19990714	EP 1997-910293	19970916
EP 928193	B1	20020612		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
BR 9712141	A	19990831	BR 1997-12141	19970916
CN 1231610	A	19991013	CN 1997-198265	19970916
NZ 334848	A	20000929	NZ 1997-334848	19970916
JP 2001501200	T2	20010130	JP 1998-515222	19970916
AT 218862	E	20020615	AT 1997-910293	19970916
CZ 290701	B6	20020911	CZ 1999-1074	19970916
PT 928193	T	20021129	PT 1997-910293	19970916
ES 2178761	T3	20030101	ES 1997-910293	19970916
RU 2203059	C2	20030427	RU 1999-108754	19970916
TW 531418	B	20030511	TW 1997-86113945	19970925
ZA 9708639	A	19980327	ZA 1997-8639	19970926
US 6469033	B1	20021022	US 1998-77191	19980821
BG 64370	B1	20041230	BG 1999-103261	19990317
NO 9901459	A	19990325	NO 1999-1459	19990325
KR 2000048674	A	20000725	KR 1999-702622	19990326

HK 1022269	A1	20040820	HK 2000-101203		20000228
PRIORITY APPLN. INFO.:			DE 1996-19639817	A	19960927
			WO 1997-EP5069	W	19970916

OTHER SOURCE(S): MARPAT 128:241762
GI



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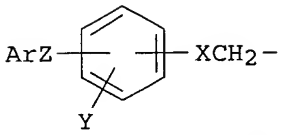


II

AB 1-Hydroxy-2-pyridones (I; R1-R3 = H, C1-4 alkyl; R4 = C6-9 saturated hydrocarbyl, II; X = S, O; Y = halo; Z = single bond, O, S, CR2, etc.; R = H, C1-4 alkyl; Ar = aryl) are suitable components of pharmaceuticals for topical treatment of skin diseases caused by **fungi** or bacteria. Thus, 1-hydroxy-4-methyl-6-cyclohexyl-2(1H)-pyridone (III) was effective in vitro against methicillin-resistant Staphylococcus aureus (min. inhibitory concentration = 64 μg/mL). A suitable composition contained III 0.50, Carbomer 940 0.50, NaOH 0.20, PEG sorbitan monostearate 3.50, iso-Pr myristate 10.00, EtOH 20.00, and demineralized water 65.30%.

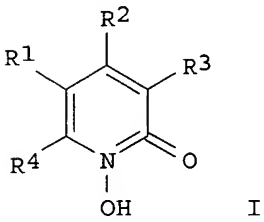
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 14 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:208419 HCAPLUS
DOCUMENT NUMBER: 128:248608
TITLE: Antimycotic gel with high active substance release
INVENTOR(S): Bohn, Manfred; Kraemer, Karl Theodor; **Markus, Astrid**
PATENT ASSIGNEE(S): **Hoechst A.-G., Germany**; Bohn, Manfred; Kraemer, Karl Theodor; Markus, Astrid
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9813042	A1	19980402	WO 1997-EP5068	19970916
W: AU, BG, BR, BY, CA, CN, CZ, HU, ID, IL, JP, KR, MX, NO, NZ, PL, RO, RU, SG, SI, TR, UA, US, YU				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
DE 19639816	A1	19980402	DE 1996-19639816	19960927
CA 2267160	AA	19980402	CA 1997-2267160	19970916
AU 9747037	A1	19980417	AU 1997-47037	19970916
AU 718837	B2	20000420		
EP 928192	A1	19990714	EP 1997-909278	19970916
EP 928192	B1	20020502		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,				

SI, FI, RO					
BR 9711559	A	19990824	BR 1997-11559		19970916
CN 1231609	A	19991013	CN 1997-198264		19970916
NZ 334849	A	20000929	NZ 1997-334849		19970916
JP 2001501609	T2	20010206	JP 1998-515221		19970916
RU 2181281	C2	20020420	RU 1999-108755		19970916
AT 216882	E	20020515	AT 1997-909278		19970916
PT 928192	T	20021031	PT 1997-909278		19970916
ES 2176702	T3	20021201	ES 1997-909278		19970916
CZ 291170	B6	20030115	CZ 1999-1073		19970916
PL 188840	B1	20050531	PL 1997-332604		19970916
TW 558442	B	20031021	TW 1997-86113946		19970925
ZA 9708638	A	19980327	ZA 1997-8638		19970926
US 2003190340	A1	20031009	US 1998-68894		19980918
BG 63864	B1	20030430	BG 1999-103262		19990317
NO 9901458	A	19990325	NO 1999-1458		19990325
KR 2000048620	A	20000725	KR 1999-702561		19990325
HK 1022268	A1	20041105	HK 2000-101195		20000228
US 2004081677	A1	20040429	US 2003-690597		20031023
PRIORITY APPLN. INFO.:			DE 1996-19639816	A	19960927
			WO 1997-EP5068	W	19970916
			US 1998-68894	A3	19980918

OTHER SOURCE(S): MARPAT 128:248608
GI



I

AB The title pharmaceutical preparation contains a hydrophilic gelation agent, water, and a 1-hydroxy-2-pyridone (I; R1-R3 = H, C1-4 alkyl; R4 = C6-9 saturated hydrocarbyl) which is suitable for treatment and prophylaxis of skin mycoses. Thus, a gel composition contained 1-hydroxy-4-methyl-6-(2,4,4-trimethylpentyl)-2(1H)-pyridone 0.50, hydroxyethylcellulose 1.50, PEG-7 glyceryl cocoate 5.00, 1,2-propylene glycol 10.00, iso-PrOH 20.00, and demineralized water 63.00 weight%.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 15 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:208389 HCAPLUS
DOCUMENT NUMBER: 128:266273
TITLE: Use of 1-hydroxy-2-pyridones for the treatment of seborrheic dermatitis
INVENTOR(S): Bohn, Manfred; Kraemer, Karl Theodor; **Markus, Astrid**
PATENT ASSIGNEE(S): **Hoechst A.-G., Germany**; Bohn, Manfred; Kraemer, Karl Theodor; Markus, Astrid
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9813009	A2	19980402	WO 1997-EP5070	19970916
WO 9813009	A3	19980514		
W: AU, BG, BR, BY, CA, CN, CZ, HU, ID, IL, JP, KR, MX, NO, NZ, PL, RO, RU, SG, SI, TR, UA, US, YU				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
DE 19639818	A1	19980402	DE 1996-19639818	19960927
CA 2267165	AA	19980402	CA 1997-2267165	19970916
CA 2267165	C	20031216		
AU 9747746	A1	19980417	AU 1997-47746	19970916
AU 716208	B2	20000224		
EP 928183	A2	19990714	EP 1997-910294	19970916
EP 928183	B1	20011205		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
BR 9711575	A	19990824	BR 1997-11575	19970916
CN 1231595	A	19991013	CN 1997-198266	19970916
CN 1104231	B	20030402		
JP 2001500884	T2	20010123	JP 1998-515223	19970916
NZ 334850	A	20010223	NZ 1997-334850	19970916
AT 209891	E	20011215	AT 1997-910294	19970916
ES 2167721	T3	20020516	ES 1997-910294	19970916
PT 928183	T	20020531	PT 1997-910294	19970916
CZ 291485	B6	20030312	CZ 1999-1075	19970916
PL 188033	B1	20041130	PL 1997-332603	19970916
TW 519491	B	20030201	TW 1997-86113944	19970925
ZA 9708640	A	19980327	ZA 1997-8640	19970926
BG 64365	B1	20041230	BG 1999-103260	19990317
NO 9901460	A	19990325	NO 1999-1460	19990325
NO 312492	B1	20020521		
KR 2000048613	A	20000725	KR 1999-702553	19990325
HK 1022267	A1	20031121	HK 2000-101194	20000228
US 2004039030	A1	20040226	US 2003-606229	20030626
PRIORITY APPLN. INFO.:			DE 1996-19639818 A	19960927
			WO 1997-EP5070 W	19970916
			US 1998-77194 A3	19981204

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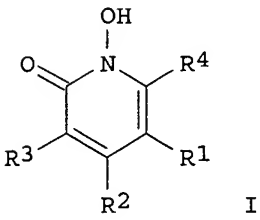


I

AB The title compds. (I; R1, R2, and R3 = C1-4-alkyl or H; R4 = C6-9-alkyl or a wide range of aryl groups) are suitable for the treatment of seborrheic dermatitis. I can be used per se or in the form of salts, applied to the

skin or scalp in formulations such as shampoos, gels, creams, etc. A number of I-containing formulations (shampoos, liquid soaps, hair rinses, and creams) are listed.

L25 ANSWER 16 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:183921 HCAPLUS
DOCUMENT NUMBER: 128:256469
TITLE: Polyene antibiotics, 3874 H1-6, manufacture with Streptomyces and applications
INVENTOR(S): Vertesy, Laszlo; Kurz, Michael; Wink, Joachim; **Markus, Astrid**; Stahl, Wilhelm
PATENT ASSIGNEE(S): **Hoechst AG, Germany**
SOURCE: Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 829487	A2	19980318	EP 1997-202571	19970819
EP 829487	A3	19980909		
EP 829487	B1	20030305		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2213285	AA	19980219	CA 1997-2213285	19970818
AU 9734230	A1	19980226	AU 1997-34230	19970818
AU 721483	B2	20000706		
BR 9704385	A	19990511	BR 1997-4385	19970818
CN 1177597	A	19980401	CN 1997-118573	19970819
CN 1127508	B	20031112		
JP 10120686	A2	19980512	JP 1997-222258	19970819
US 5939399	A	19990817	US 1997-914652	19970819
TW 514664	B	20021221	TW 1997-86111982	19970819
AT 233781	E	20030315	AT 1997-202571	19970819
PT 829487	T	20030731	PT 1997-202571	19970819
ES 2194152	T3	20031116	ES 1997-202571	19970819
PRIORITY APPLN. INFO.:			DE 1996-19633310 A	19960819
			DE 1996-19649349 A	19961128

AB Six polyene antibiotics 3874 H1-6 (I) are manufactured by culturing Streptomyces sp. DSM11007 or its mutants. I are useful as **fungicides**, drugs, and medication for control of disorders associated with higher concentration of steroids. Shake-culture of Streptomyces and isolation and purification of I from the mycelium were shown. Also given were the physicochem. characteristics of I. Inhibition of a wide spectrum of microorganism with I were also given.

L25 ANSWER 17 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:183920 HCAPLUS
DOCUMENT NUMBER: 128:256468
TITLE: Polyene antibiotics, 3874 H1-6, manufacture with Streptomyces
INVENTOR(S): Vertesy, Laszlo; Kurz, Michael; Wink, Joachim; **Markus, Astrid**; Stahl, Wilhelm
PATENT ASSIGNEE(S): **Hoechst A.-G., Germany**
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 829486	A2	19980318	EP 1997-114245	19970818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2213285	AA	19980219	CA 1997-2213285	19970818
AU 9734230	A1	19980226	AU 1997-34230	19970818
AU 721483	B2	20000706		
BR 9704385	A	19990511	BR 1997-4385	19970818
CN 1177597	A	19980401	CN 1997-118573	19970819
CN 1127508	B	20031112		
JP 10120686	A2	19980512	JP 1997-222258	19970819
US 5939399	A	19990817	US 1997-914652	19970819
TW 514664	B	20021221	TW 1997-86111982	19970819
AT 233781	E	20030315	AT 1997-202571	19970819
PT 829487	T	20030731	PT 1997-202571	19970819
ES 2194152	T3	20031116	ES 1997-202571	19970819
PRIORITY APPLN. INFO.:			DE 1996-19633310 A	19960819
			DE 1996-19649349 A	19961128

AB Six polyene antibiotics 3874 H1-6 (I) are manufactured by culturing Streptomyces sp. DSM11007. I are useful as **fungicides**, drugs, and medication for control of disorders associated with higher concentration of steroids. Shake-culture of Streptomyces and isolation and purification of I from the mycelium were shown. Also given were the physicochem. characteristics of I. Inhibition of a wide spectrum of microorganism with I were also given.

L25 ANSWER 18 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:740613 HCAPLUS
DOCUMENT NUMBER: 126:11549
TITLE: Glyceryl triacetate for treatment of onychomycosis
INVENTOR(S): Bohn, Manfred; Kraemer, Karl; **Markus, Astrid**
PATENT ASSIGNEE(S): **Hoechst A.-G., Germany**
SOURCE: Ger. Offen., 5 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19518262	A1	19961121	DE 1995-19518262	19950518
CA 2195455	AA	19961121	CA 1996-2195455	19960503
WO 9636311	A1	19961121	WO 1996-EP1855	19960503
W: AU, BG, BR, BY, CA, CN, CZ, HU, JP, KR, MX, NO, NZ, PL, RO, RU, SG, SI, UA, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9656936	A1	19961129	AU 1996-56936	19960503
AU 699323	B2	19981203		
EP 777457	A1	19970611	EP 1996-915016	19960503
EP 777457	B1	20020807		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1156958	A	19970813	CN 1996-190656	19960503
BR 9606662	A	19971028	BR 1996-6662	19960503
JP 10503219	T2	19980324	JP 1996-534502	19960503

JP 3653098	B2	20050525			
RU 2172160	C2	20010820	RU 1997-102559		19960503
CZ 290526	B6	20020814	CZ 1997-141		19960503
AT 221763	E	20020815	AT 1996-915016		19960503
PL 184648	B1	20021129	PL 1996-318312		19960503
PT 777457	T	20021231	PT 1996-915016		19960503
ES 2180774	T3	20030216	ES 1996-915016		19960503
RO 120039	B1	20050830	RO 1997-45		19960503
IL 118289	A1	20000831	IL 1996-118289		19960516
ZA 9603935	A	19961125	ZA 1996-3935		19960517
TW 496738	B	20020801	TW 1996-85106017		19960522
NO 9700198	A	19970116	NO 1997-198		19970116
NO 312395	B1	20020506			
BG 63589	B1	20020628	BG 1997-101138		19970116
HK 1011936	A1	20030214	HK 1998-113244		19981212
US 6162420	A	20001219	US 1999-776101		19990111
PRIORITY APPLN. INFO.:			DE 1995-19518262	A	19950518
			WO 1996-EP1855	W	19960503

OTHER SOURCE(S): MARPAT 126:11549

AB A nail lacquer containing glyceryl triacetate, a water-insol. film-forming agent, and optionally an antimycotic 1-hydroxy-2-pyridone derivative is useful for treatment of onychomycosis. Thus, a nail lacquer contained glyceryl triacetate 2.5, 1-hydroxy-4-methyl-6-cyclohexyl-2-pyridone 5.0, iso-PrOH 46.5, EtOAc 36.0, and Me vinyl ether/mono-Bu maleate copolymer 10.0 weight%.

L25 ANSWER 19 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:900321 HCAPLUS
DOCUMENT NUMBER: 124:21099
TITLE: Activity of levofloxacin, ofloxacin, d-ofloxacin and ciprofloxacin against systemic and respiratory tract infections in laboratory animals
AUTHOR(S): Klesel, N.; Geweniger, K. -H.; Koletzki, P.; Isert, D.; Limbert, M.; **Markus, A.**; Riess, G.; Schramm, H.; Seibert, G.; et al.
CORPORATE SOURCE: **Hoechst AG Pharma Research, Frankfurt/Main, Germany**
SOURCE: Drugs (1995), 49(Suppl. 2), 211-14
CODEN: DRUGAY; ISSN: 0012-6667
PUBLISHER: Adis
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Levofloxacin was 2-3-fold more effective than ofloxacin and ciprofloxacin in protecting mice against the lethality of systemic bacterial infections, whereas d-ofloxacin exhibited only limited or no activity against Staphylococcus aureus strains, Enterococcus faecium FO3, and gram-neg. septicemias. In exptl. respiratory tract infections in mice, levofloxacin was again the most effective compound in effecting cures and bacterial clearance.

L25 ANSWER 20 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:676608 HCAPLUS
DOCUMENT NUMBER: 123:74276
TITLE: Chemotherapeutic activity of levofloxacin (HR 335, DR-3355) against systemic and localized infections in laboratory animals
AUTHOR(S): Klesel, N.; Geweniger, K. H.; Koletzki, P.; Isert.vtheta. D.; Limbert, M.; **Markus, A.**; Riess, G.; Schramm, H.; Iyer, P.
CORPORATE SOURCE: **Hoechst AG, Frankfurt/M., D-65926, Germany**
SOURCE: Journal of Antimicrobial Chemotherapy (1995), 35(6),

805-19
CODEN: JACHDX; ISSN: 0305-7453
PUBLISHER: Saunders
DOCUMENT TYPE: Journal
LANGUAGE: English

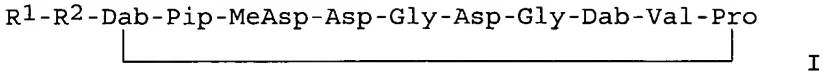
AB Ofloxacin, its optical isomers levofloxacin (HR 355, DR-3355) and D-ofloxacin (DR-3354) and ciprofloxacin were administered orally to mice and rats which had systemic and localized infections. Both levofloxacin and ciprofloxacin were equally effective in treating systemic murine infections caused by staphylococci, Enterobacteriaceae or Pseudomonas aeruginosa with ED50s ranging from 0.18 to 15.8 mg/kg and 0.42 to 16.3 mg/kg resp. and both these agents were twice as effective as ofloxacin which had an ED50 0.41 to 30.7 mg/kg. In contrast, D-ofloxacin was either inactive or exhibited only modest chemotherapeutic activity against the staphylococci and the Gram-neg. organisms tested. When given to mice to treat staphylococcal abscesses and lung infections due to Klebsiella pneumoniae DT-S levofloxacin was up to four times more effective and produced a more pronounced bactericidal effect against the pathogens in vivo than the reference compds. Despite possessing a similar, if not lesser, in-vitro activity against the infecting pathogens, levofloxacin was more effective than ofloxacin and ciprofloxacin in rats with localized infections caused by Enterobacteriaceae and P. aeruginosa.

L25 ANSWER 21 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:374862 HCAPLUS
DOCUMENT NUMBER: 122:128496
TITLE: Lipopeptides from Actinoplanes with antimicrobial activity, their preparation and pharmacological use
INVENTOR(S): Hammann, Peter; Meiwes, Johannes; Seibert, Gerhard; Vertesy, Laszlo; Wink, Joachim; **Markus, Astrid**
PATENT ASSIGNEE(S): **Hoechst A.-G., Germany**
SOURCE: Eur. Pat. Appl., 32 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 629636	A1	19941221	EP 1994-108443	19940601
EP 629636	B1	19981216		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
TW 455591	B	20010921	TW 1993-82110700	19931217
HU 69937	A2	19950928	HU 1994-1465	19940506
HU 217177	B	19991228		
EP 864584	A1	19980916	EP 1998-110484	19940601
EP 864584	B1	20001220		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
AT 174604	E	19990115	AT 1994-108443	19940601
ES 2127312	T3	19990416	ES 1994-108443	19940601
AT 198209	E	20010115	AT 1998-110484	19940601
ES 2153224	T3	20010216	ES 1998-110484	19940601
PT 864584	T	20010531	PT 1998-110484	19940601
FI 9402660	A	19941209	FI 1994-2660	19940606
AU 9464620	A1	19941215	AU 1994-64620	19940606
AU 672691	B2	19961010		
CZ 285322	B6	19990714	CZ 1994-1381	19940606
PL 179581	B1	20000929	PL 1994-303716	19940606
PL 180230	B1	20010131	PL 1994-334634	19940606

SK 281830	B6	20010806	SK 1994-685	19940606
CA 2125376	AA	19941209	CA 1994-2125376	19940607
CA 2125376	C	20000808		
NO 9402110	A	19941209	NO 1994-2110	19940607
ZA 9403983	A	19950127	ZA 1994-3983	19940607
JP 07097394	A2	19950411	JP 1994-125062	19940607
RU 2117672	C1	19980820	RU 1994-22485	19940607
IL 109917	A1	20010319	IL 1994-109917	19940607
KR 174264	B1	19990201	KR 1994-12795	19940608
US 6194383	B1	20010227	US 1997-811843	19970305
HK 1012009	A1	20000428	HK 1998-113032	19981210
GR 3035204	T3	20010430	GR 2001-400022	20010110
PRIORITY APPLN. INFO.:			DE 1993-4319007	A 19930608
			EP 1994-108443	A3 19940601
			US 1994-254791	B1 19940606

OTHER SOURCE(S): MARPAT 122:128496
GI



I

AB Lipopeptides I [R1 = C6-22 (hydroxy) fatty acid; R2 = Asp, Asn] obtained from Actinoplanes by fermentation inhibit growth of gram-pos. bacteria, especially those which are glycopeptide resistant, and are useful in treatment of infections. Thus, I (R1 = 12-methyl-3-tridecenoyl; R2 = Asp) was active against Enterococcus faecium and Streptococcus pyogenes in vitro at 1 and 0.5 μg/mL, resp.

L25 ANSWER 22 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:302943 HCAPLUS
DOCUMENT NUMBER: 122:81890
TITLE: Preparation of moenomycin C1 and analogs as antibiotics
INVENTOR(S): Boettger, Dirk; Fehlhaber, Hans-Wolfram; **Markus, Astrid**; Welzel, Peter; Hobert, Kurt; Hessler-Klintz, Martina Anna; Biallass, Armin; Luening, Joachim; Moeller, Uwe; et al.
PATENT ASSIGNEE(S): **Hoechst A.-G., Germany**
SOURCE: Ger. Offen., 11 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4315884	A1	19941117	DE 1993-4315884	19930512
DE 4315884	C2	19950907		
DE 4345154	A1	19941201	DE 1993-4345154	19930512
PRIORITY APPLN. INFO.:			DE 1993-4315884	A3 19930512

AB Moenomycin C1 (I) and 4 hydrogenation and degradation products were prepared from flavomycin. I gave 83% inhibition of transglycolase at 0.1μg/mL.

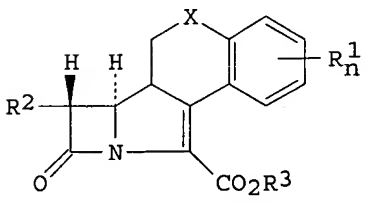
L25 ANSWER 23 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1993:538933 HCAPLUS
DOCUMENT NUMBER: 119:138933
TITLE: Novel tetracyclic carbapenems: Synthesis and biological activity
AUTHOR(S): Wollmann, T.; Gerlach, U.; Hoerlein, R.; Krass, N.; Lattrell, R.; Limbert, M.; **Markus, A.**
CORPORATE SOURCE: **Hoechst Ag., Frankfurt, 6230/80, Germany**
SOURCE: Special Publication - Royal Society of Chemistry (1993), 119(Recent Advances in the Chemistry of Anti-Infective Agents), 50-66
CODEN: SROCDO; ISSN: 0260-6291
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB Review with 15 refs.

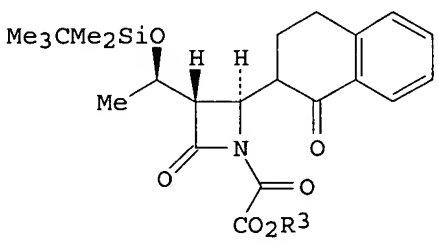
L25 ANSWER 24 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:168890 HCAPLUS
DOCUMENT NUMBER: 118:168890
TITLE: Preparation of tetrahydronaphthocarbapenems and analogs as antibiotics
INVENTOR(S): Gerlach, Uwe; Hoerlein, Rolf; Krass, Norbert; Lattrell, Rudolf; Wollmann, Theodor; Limbert, Michael; **Markus, Astrid**
PATENT ASSIGNEE(S): **Hoechst A.-G., Germany**
SOURCE: Eur. Pat. Appl., 53 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 517065	A1	19921209	EP 1992-108792		19920525
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE					
NO 9202104	A	19921130	NO 1992-2104		19920527
ZA 9203880	A	19930127	ZA 1992-3880		19920527
CA 2069764	AA	19921130	CA 1992-2069764		19920528
AU 9217294	A1	19921203	AU 1992-17294		19920528
AU 649831	B2	19940602			
CN 1068814	A	19930210	CN 1992-104064		19920528
US 5405844	A	19950411	US 1992-889350		19920528
BR 9202049	A	19930119	BR 1992-2049		19920529
HU 63168	A2	19930728	HU 1992-1801		19920529
JP 05194514	A2	19930803	JP 1992-163703		19920529
PRIORITY APPLN. INFO.:			DE 1991-4117564	A	19910529
			DE 1991-4126653	A	19910813

OTHER SOURCE(S): MARPAT 118:168890
GI



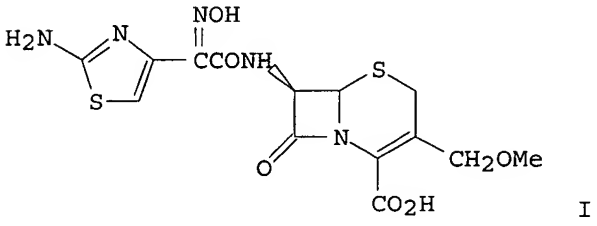
I



II

AB Title compds. [I; R1 = H, alkyl, alkoxy, halo, alkoxycarbonyl, (hetero)aryl, etc.; R2 = alkyl, CH2OH, MeCH(OH), CH2NH2, etc.; R3 = H, alkanoyloxyalkyl, alkoxycarbonyloxyalkyl, etc.; X = bond, CH2, CH2CH2, O, SO0-2, NH, etc.; n = 1-4] were prepared as antibiotics (no data). Thus, (3S, 4R)-4-acetoxy-3-[(1R)-1-tert-butyldimethylsilyloxyethyl]azetitin-2-one was condensed with 2-bromotetralone and the product N-acylated with ClCOCO2CH2CH:CH2 to give azetidinonoglyoxylate II (R3 = allyl) which was cyclized and the product converted in 2 steps to I [R1 = H, R2 = (R)-MeCH(OH), R3 = K, X = CH2].

L25 ANSWER 25 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:503648 HCAPLUS
DOCUMENT NUMBER: 117:103648
TITLE: RU 29 246, the active compound of the cephalosporin prodrug-ester HR 916. III. Pharmacokinetic properties and antibacterial activity in vivo
AUTHOR(S): Klesel, N.; Adam, F.; Isert, D.; Limbert, M.; **Markus, A.**; Schrinner, E.; Seibert, G.
CORPORATE SOURCE: **Hoechst AG, Frankfurt/Main, 6230, Germany**
SOURCE: Journal of Antibiotics (1992), 45(6), 922-31
CODEN: JANTAJ; ISSN: 0021-8820
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB The pharmacokinetics of the broad spectrum cephem RU 29 246 (I) and its prodrug-ester HR 916 B (II) were investigated in mice, rats and dogs and compared to those of cefpodoxime proxetil, cefuroxime, axetil, and cefixime. II is well absorbed following oral administration and efficiently converted to the antibacterially active form. In mice, mean peak blood levels of 31.1 μg/mL of the parent compound were recorded within 20 min after oral administration of a single dose equivalent to 40 mg/kg I. The bioavailability calculated on the basis of the areas under the concentration-time curves (AUC) and the urinary recoveries was .apprx.90%. In rats, peak blood levels of 14.5 μg/mL were obtained 1 h after an oral 20 mg/kg dose. The bioavailability was calculated as 70%. In dogs, 40% of an oral 10 mg/kg dose was recovered in the urine within 24 h. The Cmax was 15.9 μg/mL at 4.6 h. The mean elimination half-lives of RU 29 246 were 0.35, 0.5 and 2.1 h in mice, rats and dogs, resp. After an oral II dose equivalent to 50 mg/kg of I, tissue concns. at 0.5 h ranged between 0.8 μg/g in brain and 95.7 μg/g in murine kidneys. These values of II are similar to, or distinctly higher than, those of the reference compds. Of the oral cephalosporins tested, II had the most balanced antibacterial spectrum. With ED50s of between 0.9 and 11.5 mg/kg against staphylococci,

its activity was similar to that of the addnl. reference compound cefaclor and higher than that of cefuroxime. Cefixime and cefpodoxime proxetil displayed low antistaphylococcal activity or were inactive. In septicemias with Enterobacteriaceae, cefixime and cefpodoxime proxetil were more potent than II and cefaclor. Cefuroxime axetil was inactive against most of these infections. II was also highly effective against murine lung infections caused by Klebsiella pneumoniae DT-S or Streptococcus pneumoniae 1147.

L25 ANSWER 26 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:252005 HCAPLUS
DOCUMENT NUMBER: 116:252005
TITLE: The in vitro antibacterial activity of a combination of cefpirome or cefoperazone with vancomycin against enterococci and Staphylococcus aureus
AUTHOR(S): Seibert, G.; Isert, D.; Klesel, N.; Limbert, M.; **Markus, A.**; Schrinner, E.
CORPORATE SOURCE: SBU Anti-Infect. Res., **Hoechst** AG, Frankfurt/Main, 6230, Germany
SOURCE: Journal of Antimicrobial Chemotherapy (1992), 29(Suppl. A), 25-30
CODEN: JACHDX; ISSN: 0305-7453
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Cefpirome, cefoperazone, and ceftazidime were tested for their in vitro activity against Enterococcus faecalis and methicillin-resistant S. aureus (MRSA) isolates. Cefpirome was the most active cephalosporin, followed by cefoperazone. Ceftazidime had only very limited activity against these strains. In expts. with cefpirome/vancomycin and cefoperazone/vancomycin combinations, synergy was detected against most MRSA strains and some enterococci. Antagonism did not occur.

L25 ANSWER 27 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:252004 HCAPLUS
DOCUMENT NUMBER: 116:252004
TITLE: Antibacterial activity in vitro of cefpirome against clinical isolates causing sexually transmitted diseases
AUTHOR(S): Limbert, M.; Seibert, G.; Winkler, I.; Isert, D.; Klesel, N.; **Markus, A.**; Schrinner, E.
CORPORATE SOURCE: SBU Anti-Infect. Res., **Hoechst** AG, Frankfurt/Main, 6230, Germany
SOURCE: Journal of Antimicrobial Chemotherapy (1992), 29(Suppl. A), 13-17
CODEN: JACHDX; ISSN: 0305-7453
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The in vitro activity of cefpirome was compared with other antibiotics against organisms causing sexually transmitted diseases. The excellent activity of cefpirome against Neisseria gonorrhoeae (MIC90 1.0 mg/L), Haemophilus ducreyi (MIC90 0.5 mg/L), and Gardnerella vaginalis (MIC90 1.0 mg/L) suggests that this agent might be useful in the empirical treatment of a variety of venereal diseases.

L25 ANSWER 28 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:247944 HCAPLUS
DOCUMENT NUMBER: 116:247944
TITLE: Chemotherapeutic properties of mersacidin in vitro and in vivo
AUTHOR(S): Limbert, Michael; Isert, Dieter; Klesel, Norbert;

Markus, Astrid; Seibert, Gerhard; Chatterjee, Sukumar; Chatterjee, Dipak K.; Jani, Rajendra H.; Ganguli, Binmal N.
CORPORATE SOURCE: **Hoechst AG, Frankfurt, D-6000, Germany**
SOURCE: Nisin Novel Lantibiotics, Proc. Int. Workshop Lantibiotics, 1st (1991), 448-56. Editor(s): Jung, Guenther; Sahl, Hans-Georg. ESCOM: Leiden, Neth. CODEN: 57TYA9
DOCUMENT TYPE: Conference
LANGUAGE: English
AB Mersacidin is a cyclic 3-methyllanthione-containing peptide antibiotic. It is produced by a Bacillus spec. strain. Its in vitro antibacterial spectrum is restricted to Gram-pos. bacteria (staphylococci, streptococci). Compared to the therapeutically used glycopeptide vancomycin, the min. inhibitory concns. of mersacidin are about 8 to 16 times higher. However, when both compds. were compared in exptl. infections in mice this difference was not so great and the EDs of mersacidin are almost as low as those of vancomycin. This observation makes mersacidin rather unique among other lantibiotics because this is one of the first reports of systemic activity in this group of antibiotics.

L25 ANSWER 29 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:247893 HCAPLUS
DOCUMENT NUMBER: 116:247893
TITLE: Pharmacokinetics of cefpirome administered intravenously or intramuscularly to rats and dogs
AUTHOR(S): Isert, D.; Klesel, N.; Limbert, M.; **Markus, A.**; Seibert, G.; Schrinner, E.
CORPORATE SOURCE: SBU Antiinfect. Res., **Hoechst** AG, Frankfurt/Main, 6230, Germany
SOURCE: Journal of Antimicrobial Chemotherapy (1992), 29(Suppl. A), 31-7
CODEN: JACHDX; ISSN: 0305-7453
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The pharmacokinetic profile of cefpirome was evaluated in rats and dogs after a single i.v. or i.m. dose. A two-compartment open model was used for the calcn. of the pharmacokinetic parameters for both routes of administration. The elimination half-lives after i.v. and i.m. administration of 20 mg/kg cefpirome did not differ significantly and ranged from 0.4 h in rats to 1.1 h in dogs. Cefpirome was mainly excreted via the kidneys. After i.v. or i.m. dosing of the compound, between 80% (dogs) and 90% (rats) was recovered in urine within 24 h. The bioavailability of cefpirome in rats and dogs after both routes of administration was almost identical when calculated either by the AUC or the urinary recovery rates.

L25 ANSWER 30 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:231739 HCAPLUS
DOCUMENT NUMBER: 116:231739
TITLE: RU 29 246, the active compound of the cephalosporin-prodrug-ester HR 916. II. Stability to β-lactamases and affinity for penicillin-binding proteins
AUTHOR(S): **Markus, A.**; Klesel, N.; Wollmann, T.; Isert, D.; Limbert, M.; Schrinner, E.; Seibert, G.; Bauernfeind, A.; Jungwirth, R.; et al.
CORPORATE SOURCE: **Hoechst AG, Frankfurt/Main, 6230, Germany**
SOURCE: Journal of Antibiotics (1992), 45(4), 521-6
CODEN: JANTAJ; ISSN: 0021-8820

DOCUMENT TYPE: Journal
LANGUAGE: English

AB The aminothiazolyl-cephalosporin RU 29 246, the active metabolite of the prodrug-ester HR 916, is active against strains producing the widespread plasmid-encoded TEM-1, TEM-2 and SHV-1 β-lactamases. Except for TEM-7, the activity of RU 29 246 against strains producing extended broad spectrum β-lactamases (TEM-3, TEM-5, TEM-6, SHV-2, SHV-4, SHV-5, CMY-1, CTX-M), however, is low. Relative hydrolysis rates of RU 29 246 are comparable with those of cefpodoxime, the active metabolite of CS-807, and are extremely low for the TEM-1 and SHV-1 β-lactamases. The compound demonstrates remarkable inhibitory activity against the chromosomal β-lactamase of Enterobacter cloacae P99. In the presence of 1.7 μM this enzyme loses 50% of its activity. At concns. of 0.43, 0.003 and 0.01 μg/mL the compound binds preferentially to penicillin-binding protein (PBP) 3 of Escherichia coli K12, to the PBPs 2x and 3 of Streptococcus pneurmoniae R6 and to PBP 1 of Staphylococcus aureus SG 511, resp.

L25 ANSWER 31 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:41321 HCAPLUS
DOCUMENT NUMBER: 116:41321
TITLE: Preparation of 6-isoquinolinoquinolonecarboxylates as medical bactericides
INVENTOR(S): Ruxer, Jean Maris; **Markus, Astrid**; Limbert, Michael; Ousset, Jean Bernard
PATENT ASSIGNEE(S): Societe Francaise **Hoechst** S. A., Fr.
SOURCE: Fr. Demande, 20 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2656611	A1	19910705	FR 1990-49	19900104
FR 2656611	B1	19920507		

PRIORITY APPLN. INFO.: FR 1990-49 19900104
OTHER SOURCE(S): MARPAT 116:41321
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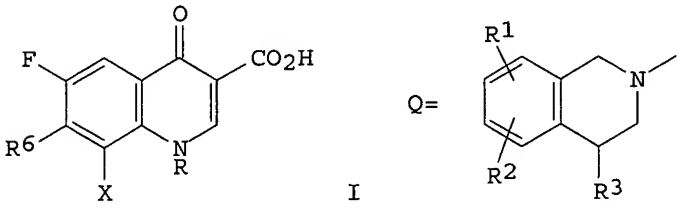
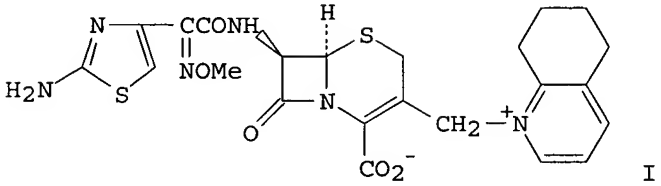


AB The title compds. (I; R = Et, cyclopropyl, 4-FC6H4; R6 = isoquinolino group Q; R1, R2 = H, halo, alkyl, alkoxy, NO2, etc.; R1R2 = OCH2O; R3 = H, halo, OH; X = H, F) were prepared Thus, I (R = Et, R6 = X = F) was condensed with QH (R1 = 7-NH2, R2 = R3 = H) to give I (R = Et, R6 = Q, R1 = 7-NH2, R2 = R3 = H, X = F) which had MIC of 0.98 and 0.78 (units not given) against Staphylococcus aureus 511 and Escherichia coli 078, resp.

L25 ANSWER 32 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1991:94590 HCAPLUS
DOCUMENT NUMBER: 114:94590
TITLE: Antibacterial activities in vitro and in vivo and pharmacokinetics of cefquinome (HR 111V), a new broad-spectrum cephalosporin
AUTHOR(S): Limbert, Michael; Isert, Dieter; Klesel, Norbert; **Markus, Astrid**; Seeger, Karl; Seibert, Gerhard; Schrinner, Elmar
CORPORATE SOURCE: **Hoechst A.-G., Frankfurt/Main, 6230, Germany**
SOURCE: Antimicrobial Agents and Chemotherapy (1991), 35(1), 14-19
CODEN: AMACCQ; ISSN: 0066-4804
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Cefquinome (I) is a new injectable aminothiazolyl cephalosporin derivative It is stable against chromosomally and plasmid-encoded β-lactamases and has a broad antibacterial spectrum. Staphylococcus aureus, streptococci, Pseudomonas aeruginosa, and members of the family Enterobacteriaceae (Escherichia coli, Salmonella spp., Klebsiella spp., Enterobacter spp., Citrobacter spp., and Serratia marcescens) are inhibited at low concns. I is also active against many strains of methicillin-resistant staphylococci and enterococci. Its in vitro activity against gram-neg. anaerobes is very limited. The high in vitro activity of I is reflected by its high in vivo efficacy against exptl. septicemia due to different gram-pos. and gram-neg. bacteria. The authors studied the pharmacokinetic properties of I in mice, dogs, pigs, and calves. After single parenteral administrations, I displayed high peak levels, declining with half-lives of about 0.5, 0.9, 1.2, and 1.3 h, resp. The areas under the concentration-time curve determined for dogs and mice showed linear correlations to the given doses. In dogs the urinary recovery was more than 70% within 24 h of dosing.

L25 ANSWER 33 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:131936 HCAPLUS
DOCUMENT NUMBER: 112:131936
TITLE: Comparative chemotherapeutic activity of cefpirome and imipenem in experimental infections
AUTHOR(S): Klesel, N.; Isert, D.; Limbert, M.; **Markus, A.** ; Seibert, G.; Schrinner, E.
CORPORATE SOURCE: Pharma Res., **Hoechst** A.-G., Frankfurt/Main, Germany
SOURCE: Journal of Antibiotics (1990), 43(1), 100-6
CODEN: JANTAJ; ISSN: 0021-8820
DOCUMENT TYPE: Journal
LANGUAGE: English
AB In systemic and local infections, the therapeutic efficacy of cefpirome

was compared with that of imipenem and cefotaxime. Murine septicemia induced with methicillin-sensitive and methicillin-resistant Staphylococcus aureus strains responded well to cefpirome and imipenem therapy, the ED50 values ranged from 0.8 to 28.40 mg/kg and 0.5 to 15.58 mg/kg, resp. Imipenem also displayed high efficacy against enterococci and was more potent than cefpirome. Cefotaxime, however, exhibited lower activity or proved to be inactive against these strains. With ED50 values of 0.03 to 31.33 mg/kg, cefpirome was the most active of the three antibiotics in protecting mice challenged with Enterobacteriaceae. The corresponding ED50 values of imipenem and cefotaxime ranged from 0.72 to 70.95 mg/kg and 0.06 to 66.30 mg/kg, resp. Despite distinctly lower in vitro activity against the infecting organism, cefpirome showed efficacy similar to that of imipenem in the treatment of s.c. S. aureus abscesses in mice. It was more effective than imipenem and cefotaxime against exptl. Klebsiella-induced pneumonia in mice and Escherichia coli-infected granuloma pouch in rats.

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